

# STIC SEARCH RESULTS FEEDBACK FORM

## Biotech-Chem Library

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Mary Hale, Information Branch Supervisor 308-4258, CM1-1E01

lol	untary Results Feedback Form
۶	I am an examiner in Workgroup: Example: 1610
>	Relevant prior art found, search results used as follows:
	102 rejection
	☐ 103 rejection
•	Cited as being of interest.
	Helped examiner better understand the invention.
	☐ Helped examiner better understand the state of the art in their technology.
	Types of relevant prior art found:
	☐ Foreign Patent(s)
	<ul> <li>Non-Patent Literature (journal articles, conference proceedings, new product announcements etc.)</li> </ul>
A	Relevant prior art not found:
	Results verified the lack of relevant prior art (helped determine patentability).
	Results were not useful in determining patentability or understanding the invention.
Co	omments:

Drop off or send completed forms to STIC/Biotech-Chem Library CM1 - Circ. Desk



NODE ATTRIBUTES:

DEFAULT MLEVEL IS ATOM

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GRAPH ATTRIBUTES:

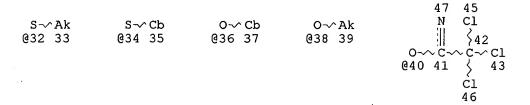
RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE

L6 8457 SEA FILE=REGISTRY SSS FUL L4

L9 STR



VAR G1=OH/27/30/X/32/34/36/38/40

NODE ATTRIBUTES:

CONNECT IS E1 RC AT 29

CONNECT IS E2 RC AT 32

CONNECT IS E1 RC AT 33

CONNECT IS E2 RC AT 34

CONNECT IS E1 RC AT 35

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CONNECT IS E1 RC AT
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DEFAULT MLEVEL IS ATOM
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#### GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 47

STEREO ATTRIBUTES: NONE

104 SEA FILE=REGISTRY SUB=L6 SSS FUL L9 L10

79 SEA FILE=REGISTRY ABB=ON PLU=ON L10 AND 2 OC5/ES L24

L27 13 SEA FILE=HCAPLUS ABB=ON PLU=ON L24

#### => d ibib abs hitstr 127 1-13

L27 ANSWER 1 OF 13 HCAPLUS COPYRIGHT 2003 ACS 2003:221700 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER:

138:221788

TITLE:

Synthetic heparin pentasaccharides via glycosylation

reaction using different protecting groups

INVENTOR(S):

Seifert, Joachim; Singh, Latika; Ramsdale, Tracie Elizabeth; West, Michael Leo; Drinnan, Nicholas Barry

Alchemia Pty Ltd., Australia

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 207 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

GI

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

P	PATENT NO.					KIND DATE			A	PPLI	CATI	э.	DATE						
W	2003	2003022860			1 .	20030320			WO 2002-AU122				8	2002	0906				
	W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,		
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KZ,	LC,	LK,	LR,		
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AB Synthetic monosaccharides, disaccharides, trisaccharides, tetrasaccharides and pentasaccharides for use in the prepn. of synthetic heparinoids. Thus, heparin pentasaccharide I (R1 = SO3Na) was prepd. via glycosylation reaction using different protecting groups.

.beta.-D-Glucopyranuronic acid, 4-O-[2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)diphenylsilyl]-2,3-bis-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 501090-00-2 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)diphenylsilyl]-2,3-bis-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501090-01-3 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-6-O-(4-methoxyphenyl)-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)diphenylsilyl]-2,3-bis-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 501090-07-9 HCAPLUS

CN D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-6-O-(4-methoxyphenyl)-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-2,3-bis-O-(phenylmethyl)-, methyl ester, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

### Absolute stereochemistry.

RN 501090-11-5 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)diphenylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

RN 501090-13-7 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)diphenylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501090-15-9 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)diphenylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

RN 501090-17-1 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)diphenylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501090-19-3 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)diphenylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-benzoate (9CI) (CA INDEX NAME)

RN 501090-21-7 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)diphenylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501090-23-9 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)diphenylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate (9CI) (CA INDEX NAME)

RN 501090-25-1 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)diphenylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 501090-05-7P 501090-06-8P 501090-27-3P 501090-29-5P 501090-31-9P 501090-33-1P 501090-35-3P 501090-37-5P 501090-39-7P 501090-41-1P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(synthetic heparin pentasaccharides via glycosylation reaction using different protecting groups)

RN 501090-05-7 HCAPLUS

CN D-Glucopyranuronic acid, 4-0-[2-azido-6-0-benzoyl-2-deoxy-3,4-bis-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-2,3-bis-0-(phenylmethyl)-, methyl ester, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 501090-06-8 HCAPLUS

CN D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-2,3-bis-O-(phenylmethyl)-, methyl ester, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501090-27-3 HCAPLUS

CN D-Glucopyranuronic acid, 4-O-[2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 501090-29-5 HCAPLUS

CN D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501090-31-9 HCAPLUS

CN D-Glucopyranuronic acid, 4-O-[2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2,2-dimethylpropanoate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 501090-33-1 HCAPLUS

CN D-Glucopyranuronic acid, 4-0-[2-azido-2-deoxy-6-0-[(4-methoxyphenyl)methyl]-3,4-bis-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2,2-dimethylpropanoate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501090-35-3 HCAPLUS

CN D-Glucopyranuronic acid, 4-0-[2-azido-6-0-benzoyl-2-deoxy-3,4-bis-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-0-(phenylmethyl)-, methyl ester, 2-benzoate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 501090-37-5 HCAPLUS

CN D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-benzoate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501090-39-7 HCAPLUS

CN D-Glucopyranuronic acid, 4-O-[2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 501090-41-1 HCAPLUS

CN D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 501092-35-9 501092-36-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(synthetic heparin pentasaccharides via glycosylation reaction using different protecting groups)

RN 501092-35-9 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

501092-36-0 HCAPLUS RN

.beta.-D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-6-O-[(4-CN methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 2 OF 13 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2003:69762 HCAPLUS

DOCUMENT NUMBER: TITLE:

138:385647

Modular synthesis of heparin oligosaccharides

AUTHOR(S):

Orgueira, Hernan A.; Bartolozzi, Alessandra; Schell,

Peter; Litjens, Remy E. J. N.; Palmacci, Emma R.;

Seeberger, Peter H.

CORPORATE SOURCE:

Department of Chemistry, Massachusetts Institute of

Technology, Cambridge, MA, 02139, USA

SOURCE:

Chemistry--A European Journal (2003), 9(1), 140-169

CODEN: CEUJED; ISSN: 0947-6539

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal LANGUAGE: English

A general, modular strategy for the first completely stereoselective synthesis of defined heparin oligosaccharides is described. Six monosaccharide building blocks (four differentially protected glucosamines, one glucuronic and one iduronic acid) were utilized to prep. di- and trisaccharide modules in a fully selective fashion. Installation of the .alpha.-glucosamine linkage was controlled by placing a conformational constraint on the uronic acid glycosyl acceptors thereby establishing a new concept for stereochem. control. Combination of disaccharide modules to form trans-uronic acid linkages was completely selective by virtue of C2 participating groups. Coupling reactions between disaccharide modules exhibited sequence dependence. While the union of many glucosamine uronic acid disaccharide modules did not meet any problems, certain sequences proved not accessible. Elaboration of glucosamine uronic acid disaccharide building blocks to trisaccharide modules by addn. of either one addnl. glucosamine or uronic acid allowed for stereoselective access to oligosaccharides as demonstrated on the example of a hexasaccharide resembling the ATIII-binding sequence. Final deprotection and sulfation yielded the fully synthetic heparin oligosaccharides.

1T 444118-60-9P 444118-61-0P 444118-62-1P
444118-63-2P 444118-64-3P 444118-65-4P
444118-66-5P 444118-67-6P 444118-68-7P
444118-69-8P 444118-71-2P 444118-72-3P
444118-73-4P 444118-74-5P 444118-75-6P
444118-76-7P 444118-77-8P 444118-78-9P
444118-79-0P 444119-04-4P 444119-05-5P
463350-34-7P 463350-37-0P 463350-39-2P
525593-49-1P 525593-55-9P 525593-56-0P

525593-58-2P 525593-60-6P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(prepn. of heparin oligosaccharides using modular synthesis techniques)

444118-60-9 HCAPLUS
.alpha.-D-Glucopyranuronic acid, 4-0-[6-0-acetyl-2-azido-2-deoxy-4-0-[(1,1-dimethylethyl)dimethylsilyl]-3-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-

dimethylethyl)dimethylsilyl]-3-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-0-(phenylmethyl)-, methyl ester, 2-(chloroacetate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN

RN 444118-61-0 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(chloroacetate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444118-62-1 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444118-63-2 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

RN 444118-64-3 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(chloroacetate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-65-4 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-0-[6-0-acetyl-2-azido-2-deoxy-3,4-bis-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-0-[(1,1-dimethylethyl)dimethylsilyl]-3-0-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

RN 444118-66-5 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-67-6 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 444118-68-7 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-69-8 HCAPLUS

cn .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 444118-71-2 HCAPLUS

CN L-Idopyranuronic acid, 4-O-[3,6-di-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 1,2-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444118-72-3 HCAPLUS

CN L-Idopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 1,2-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444118-73-4 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-O-[3,6-di-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-74-5 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-75-6 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-0-[6-0-acetyl-2-azido-2-deoxy-4-0-[(1,1-dimethylethyl)dimethylsilyl]-3-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

RN 444118-76-7 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Me O Ph OAC 
$$(CH_2)_3$$
 R S  $H$  R R  $R$  O Ph  $N_3$  OMe

RN 444118-77-8 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(chloroacetate) (9CI) (CA INDEX NAME)

RN 444118-78-9 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(chloroacetate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-79-0 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

RN 444119-04-4 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444119-05-5 HCAPLUS

RN 463350-34-7 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463350-37-0 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 463350-39-2 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-O-[3,6-di-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-.alpha.-D-glucopyranosyl]-1-O-[dimethyl(1,1,2-trimethylpropyl)silyl]-3-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 525593-49-1 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-2-O-[(2-propenyloxy)carbonyl]-, methyl ester, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 525593-55-9 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-(1,4-dioxopentyl)-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 525593-56-0 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-(1,4-dioxopentyl)-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate (9CI) (CA INDEX NAME)

#### Absolute stereochemistry.

RN 525593-58-2 HCAPLUS

CN L-Idopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-(1,4-dioxopentyl)-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 1,2-diacetate (9CI) (CA INDEX NAME)

#### Absolute stereochemistry.

RN 525593-60-6 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-0-[6-0-acetyl-2-azido-2-deoxy-4-0-(1,4-dioxopentyl)-3-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-0-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

#### IT 444118-80-3P 525593-73-1P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of heparin oligosaccharides using modular synthesis techniques)

RN 444118-80-3 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 525593-73-1 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-0-[6-0-acetyl-2-azido-2-deoxy-3-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-0-[(1,1-dimethylethyl)dimethylsilyl]-3-0-(phenylmethyl)-, methyl ester, 2-acetate (9CI) (CA INDEX NAME)

REFERENCE COUNT:

93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 3 OF 13 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2002:790621 HCAPLUS

DOCUMENT NUMBER:

138:153743

TITLE:

The activation of fibroblast growth factors by

heparin: synthesis and structural study of rationally

modified heparin-like oligosaccharides

AUTHOR(S):

Ojeda, Rafael; Angulo, Jesus; Nieto, Pedro M.;

Martin-Lomas, Manuel

CORPORATE SOURCE:

Grupo de Carbohidratos, Instituto de Investigaciones

Quimicas, CSIC, Seville, 41092, Spain

SOURCE:

Canadian Journal of Chemistry (2002), 80(8), 917-936

CODEN: CJCHAG; ISSN: 0008-4042

PUBLISHER:

National Research Council of Canada

DOCUMENT TYPE:

Journal English

LANGUAGE:

OTHER SOURCE(S):

CASREACT 138:153743

Heparin-like hexasaccharide and octasaccharide have been synthesized using AB a convergent block strategy and their soln. conformations have been detd. by NMR spectroscopy. Both oligosaccharides contain the basic structural motif of the regular region of heparin but have been constructed as to display neg. charged sulfate groups only on one side of their soln. helical structures. This charge distribution along the saccharide chain has been designed to get insight into the proposed mechanism for fibroblast growth factors (FGFs) activation that involves heparin-induced FGF dimerization.

#### IT 496780-25-7P

RN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(activation of fibroblast growth factors by heparin and synthesis and structural study of rationally modified heparin-like oligosaccharides) 496780-25-7 HCAPLUS

.beta.-L-Idopyranuronic acid, 4-0-[2-azido-6-0-benzoyl-2-deoxy-3,4-bis-0-CN (phenylmethyl) -. alpha. -D-glucopyranosyl] -1-O-[dimethyl(1,1,2trimethylpropyl)silyl]-3-0-(phenylmethyl)-, methyl ester, 2-acetate (9CI) (CA INDEX NAME)

REFERENCE COUNT:

62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 4 OF 13 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: DOCUMENT NUMBER:

2002:574867 HCAPLUS 137:125357

TITLE:

Solid- and solution-phase combinatorial libraries synthesis of heparin and other glycosaminoglycans as

potential receptors

INVENTOR(S):

Seeberger, Peter H.; Orgueira, Hernan; Schell, Peter

Massachusetts Institute of Technology, USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 131 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.					ND	DATE		Α	PPLI	CATI	N NC	٥.	DATE						
,	wo	2002	0586	33	A2		2002	0801		W	20	02-U	s177	2	20020122					
,	WO	2002058633			A3		20021017													
		W:	ΑE,	AG,	AL,	AM,	ΑT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,		
			co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
•			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,		
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,		
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,		
			UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	KZ,	MD,	RU,	ТJ,	TM	
		RW:	GH,	GM,	ΚE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AT,	BE,	CH,		
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,		
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
1	US	2003	0138	62	A.	1	2003	0116		US 2002-54724					2002	0122				
PRIOR			1	JS 2	001-	26362	21P	P	2001	0123										
OTHER	SC	URCE	(S):			MAR	PAT	137:	1253	57										
GI																				

Described is a modular, general synthetic strategy for the prepn. in soln. AΒ and on a solid support of heparin, heparin-like glycosaminoglycans, glycosaminoglycans and non-natural analogs, e.g. I, wherein X is OH, acyloxy, silyloxy, halide, alkylthio, arylthio, alkoxy, OC(NH)CCl3; R is H, alkyl, aryl, arylalkyl, heteroarylalkyl, silyl, acyl, alkenyloxycarbonyl, aralkyloxycarbonyl; R1 is H, alkyl, aryl, arylalkyl, heteroarylalkyl and derivs. Addnl., the modular strategy provides the basis for the prepn. of combinatorial libraries and parallel libraries of defined glycosaminoglycan oligosaccharides. The defined glycosaminoglycan structures may be used in high-throughput screening expts. to identify carbohydrate sequences that regulate a host of recognition and signal-transduction processes. The detn. of specific sequences involved in receptor binding holds great promise for the development of mol. tools which will allow modulation of processes underlying viral entry, angiogenesis, kidney diseases and diseases of the control nervous system (no data). Notably, the present invention enables the automated synthesis of glycosaminoglycans in much the same fashion that peptides and oligonucleotides are currently assembled. Thus, n-pentenyl (2-deoxy-2-sodium sulfonatamido-3,4,6-tri-0-sodium sulfonato-.alpha.-Dglucopyranosyl)-(1.fwdarw.4)-(sodium 2-O-sodium sulfonato-.alpha.-Didopyranosyluronate)-(1.fwdarw.4)-(2-deoxy-2-sodium sulfonatamido-6-0sodium sulfonato-.alpha.-D-glucopyranosyl)-(1.fwdarw.4)-sodium 2-O-sodium sulfonato-.beta.-D-glucopyranosiduronate was prepd. as potential receptors.

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IT 444118-60-9P 444118-61-0P 444118-62-1P 444118-63-2P 444118-64-3P 444118-65-4P 444118-66-5P 444118-67-6P 444118-68-7P 444118-69-8P 444118-70-1P 444118-71-2P 444118-72-3P 444118-73-4P 444118-74-5P 444118-75-6P 444118-76-7P 444118-77-8P 444118-78-9P 444118-79-0P 444119-04-4P 444119-05-5P
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RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (solid-phase combinatorial libraries synthesis of glycosaminoglycans as potential receptors)

RN 444118-60-9 HCAPLUS

CN

.alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(chloroacetate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 444118-61-0 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(chloroacetate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444118-62-1 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

RN 444118-63-2 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-64-3 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(chloroacetate) (9CI) (CA INDEX NAME)

RN 444118-65-4 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-66-5 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-0-[6-0-acetyl-2-azido-2-deoxy-3,4-bis-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-0-[(1,1-dimethylethyl)dimethylsilyl]-3-0-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

RN 444118-67-6 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-68-7 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate)
1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 444118-69-8 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-70-1 HCAPLUS

CN D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444118-71-2 HCAPLUS

CN L-Idopyranuronic acid, 4-O-[3,6-di-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 1,2-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444118-72-3 HCAPLUS

CN L-Idopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 1,2-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444118-73-4 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-0-[3,6-di-0-acetyl-2-azido-2-deoxy-4-0-

[(1,1-dimethylethyl)dimethylsilyl]-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-74-5 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-0-[6-0-acetyl-2-azido-2-deoxy-4-0-[(1,1-dimethylethyl)dimethylsilyl]-3-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-0-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-75-6 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

RN 444118-76-7 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Me O Ph OAC 
$$(CH_2)_3$$
 O R S  $H$  R R  $R$  O Ph  $N_3$ 

RN 444118-77-8 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-0-[6-0-acetyl-2-azido-2-deoxy-4-0-[(1,1-dimethylethyl)dimethylsilyl]-3-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-0-(phenylmethyl)-, methyl ester, 2-(chloroacetate) (9CI) (CA INDEX NAME)

RN 444118-78-9 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(chloroacetate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-79-0 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

RN 444119-04-4 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444119-05-5 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

IT 444118-80-3P 444119-16-8P 444119-17-9P 444119-18-0P 444119-19-1P 444119-20-4P

444119-21-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(solid-phase combinatorial libraries synthesis of glycosaminoglycans as potential receptors)

RN 444118-80-3 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444119-16-8 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-O-[3-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-6-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444119-17-9 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[3,6-di-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444119-18-0 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[3-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-6-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444119-19-1 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-O-[2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444119-20-4 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

### Absolute stereochemistry.

RN 444119-21-5 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

L27 ANSWER 5 OF 13 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 2002:550669 HCAPLUS

DOCUMENT NUMBER: 137:311131

TITLE: The heparin-Ca2+ interaction: structure of the Ca2+

binding site

AUTHOR(S): Chevalier, Franck; Angulo, Jesus; Lucas, Ricardo;

Nieto, Pedro M.; Martin-Lomas, Manuel

CORPORATE SOURCE: Grupo de Carbohidratos, Instituto de Investigaciones

Quimicas, CSIC, Seville, 41092, Spain

SOURCE: European Journal of Organic Chemistry (2002), (14),

2367-2376

CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal LANGUAGE: English

AΒ Heparin as a polyelectrolyte may exhibit cation-territorial or site-specific binding, depending on the counterion. Thus, while Ca2+ binds specifically, Na+ or Mg2+ do so territorially. We have explored the utility of computational methods that combine the calcn. of the interaction energy potential with mol. dynamics simulation for the study of the cation interaction with (I). The computational procedure was designed in order to provide an accurate calcn. of the interaction energy and to account simultaneously for the flexibility of the charged heparin side chains. This procedure was able to reproduce the behavior of Na+, Ca2+, and Mg2+, suggesting that it was the combination of charge and size in Ca2+ that was responsible for its site-specific binding. Other known characteristics of this interaction were also reproduced, as was the displacement of the iduronate conformational equil. The interaction potential results allowed the Ca2+ binding site between consecutive glucosamine and iduronate residues to be identified. A model disaccharide (II) was synthesized and its behavior in the presence of Ca2+ was studied by NMR spectroscopy, confirming the location of the cation binding site within the glucosamine-iduronate disaccharide.

IT 382614-14-4P 382614-16-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn of model compds. for study of heparin-Ca2+ binding site conformation)

RN 382614-14-4 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[dimethyl(1,1,2-trimethylpropyl)silyl]-3-O-(phenylmethyl)-, methyl ester, 2-benzoate (9CI) (CA INDEX NAME)

RN 382614-16-6 HCAPLUS

CN L-Idopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-benzoate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 6 OF 13 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:501360 HCAPLUS

DOCUMENT NUMBER: 137:263256

TITLE: Conformational locking of the glycosyl acceptor for

stereocontrol in the key step in the synthesis of

heparin

AUTHOR(S): Orgueira, Hernan A.; Bartolozzi, Alessandra; Schell,

Peter; Seeberger, Peter H.

CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of

Technology, Cambridge, MA, 02139, USA

SOURCE: Angewandte Chemie, International Edition (2002),

41(12), 2128-2131

CODEN: ACIEF5; ISSN: 1433-7851

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal LANGUAGE: English

AB A novel concept for the stereochem. control in the key step for heparin

synthesis is reported. Locking the conformation of the glucuronic acid acceptor allowed the completely selective prepn. of the desired cis glycosides. Several key disaccharide chiral synthons, previously prepd. as anomeric mixts., have been prepd. utilizing this approach.

IT 463350-34-7P 463350-37-0P 463350-39-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(stereoselective prepn. of oligosaccharide chiral synthons, to be used in the key step in the synthesis of heparin)

RN 463350-34-7 HCAPLUS

CN

.beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-benzoate (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

RN 463350-37-0 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-benzoate (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

RN 463350-39-2 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-O-[3,6-di-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-.alpha.-D-glucopyranosyl]-1-O-

[dimethyl(1,1,2-trimethylpropyl)silyl]-3-0-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

52 THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 7 OF 13 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:688082 HCAPLUS

DOCUMENT NUMBER:

136:53969

TITLE:

The activation of fibroblast growth factors by

heparin: synthesis, structure, and biological activity

of heparin-like oligosaccharides

AUTHOR(S):

De Paz, Jose-Luis; Angulo, Jesus; Lassaletta,

Jose-Maria; Nieto, Pedro M.; Redondo-Horcajo, Mariano;

Lozano, Rosa M.; Gimenez-Gallego, Guillermo;

Martin-Lomas, Manuel

CORPORATE SOURCE:

Grupo de Carbohidratos, Instituto de Investigaciones

Quimicas, CSIC, Seville, 41092, Spain

SOURCE: ChemBioChem (2001), 2(9), 673-685 CODEN: CBCHFX; ISSN: 1439-4227

PUBLISHER: Wiley-VCH Verlag GmbH

DOCUMENT TYPE: Journal LANGUAGE: English

AB An effective strategy has been designed for the synthesis of oligosaccharides of different sizes structurally related to the regular region of heparin; this is illustrated by the prepn. of hexasaccharide 1 and octasaccharide 2. This synthetic strategy provides the oligosaccharide sequence contg. a D-glucosamine unit at the nonreducing end that is not available either by enzymic or chem. degrdn. of heparin. It may permit, after slight modifications, the prepn. of oligosaccharide fragments with different charge distribution as well. NMR spectroscopy and mol. dynamics simulations have shown that the overall structure of 1 in soln. is a stable right-hand helix with four residues per turn. Hexasaccharide 1 and, most likely, octasaccharide 2 are, therefore, chem. well-defined structural models of naturally occurring heparin-like oligosaccharides for use in binding and biol. activity studies. Both compds. 1 and 2 induce the mitogenic activity of acid fibroblast growth factor (FGF1), with the half-max. activating concn. of 2 being equiv. to that of heparin. Sedimentation equil. anal. with compd. 2 suggests that heparin-induced FGF1 dimerization is not an abs. requirement for biol. activity.

IT 382614-14-4P 382614-16-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(activation of fibroblast growth factors by heparin synthesis structure and biol. activity of heparin-like oligosaccharides)

RN 382614-14-4 HCAPLUS

.beta.-L-Idopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[dimethyl(1,1,2-trimethylpropyl)silyl]-3-O-(phenylmethyl)-, methyl ester, 2-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 382614-16-6 HCAPLUS

CN L-Idopyranuronic acid, 4-0-[6-0-acetyl-2-azido-2-deoxy-3,4-bis-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-0-(phenylmethyl)-, methyl ester, 2-benzoate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 8 OF 13 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:662532 HCAPLUS

DOCUMENT NUMBER:

135:371934

TITLE:

Oligosaccharide Synthesis with Glycosyl Phosphate and Dithiophosphate Triesters as Glycosylating Agents

AUTHOR(S): Plante, Obadiah J.; Palmacci, Emma R.; Andrade,

Rodrigo B.; Seeberger, Peter H.

CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of

Technology, Cambridge, MA, 02139, USA

SOURCE: Journal of the American Chemical Society (2001),

123(39), 9545-9554

CODEN: JACSAT; ISSN: 0002-7863

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

Described herein is an efficient one-pot synthesis of .alpha.- and .beta.-glycosyl phosphate and dithiophosphate triesters from glycals via 1,2-anhydrosugars. Glycosyl phosphates function as versatile glycosylating agents for the synthesis of .beta.-glucosidic, .beta.-galactosidic, .alpha.-fucosidic, .alpha.-mannosidic, .beta.-glucuronic acid, and .beta.-glucosamine linkages upon activation with trimethylsilyl trifluoromethanesulfonate (TMSOTf). In addn. to serving as efficient donors for O-glycosylations, glycosyl phosphates are effective in the prepn. of S-glycosides and C-glycosides. Furthermore, the acid-catalyzed coupling of glycosyl phosphates with silylated acceptors is also discussed. Glycosyl dithiophosphates are synthesized and are also used as glycosyl donors. This alternate method offers compatibility with acceptors contg. glycals to form .beta.-glycosides. minimize protecting group manipulations, orthogonal and regioselective glycosylation strategies with glycosyl phosphates are reported. An orthogonal glycosylation method involving the activation of a glycosyl phosphate donor in the presence of a thioglycoside acceptor is described, as is an acceptor-mediated regioselective glycosylation strategy. Addnl., a unique glycosylation strategy exploiting the difference in reactivity of .alpha.- and .beta.-glycosyl phosphates is disclosed. The procedures outlined here provide the basis for the assembly of complex oligosaccharides in soln. and by automated solid-phase synthesis with glycosyl phosphate building blocks exclusively or in concert with other donors.

### IT 373606-32-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (synthesis of oligosaccharides using glycosyl phosphate and dithiophosphate triesters as glycosylating agents)

RN 373606-32-7 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[2-azido-2-deoxy-3,4,6-tris-O-(phenylmethyl)-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

85

THERE ARE 85 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2001:527055 HCAPLUS

DOCUMENT NUMBER:

135:288993

TITLE:

A rational approach to heparin-related fragments -

synthesis of differently sulfated tetrasaccharides as

potential ligands for fibroblast growth factors

AUTHOR(S):

Poletti, Laura; Fleischer, Martin; Vogel, Christian;

Guerrini, Marco; Torri, Giangiacomo; Lay, Luigi

CORFORATE SOURCE:

Department of Organic and Industrial Chemistry,

University of Milan, Milan, 20133, Italy

SOURCE:

European Journal of Organic Chemistry (2001), (14),

2727-2734

CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER:

Wiley-VCH Verlag GmbH

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 135:288993

AB Heparin-like tetrasaccharides 1-3, differing in their sulfation pattern at position 6 of the glucosamine units, were synthesized. The three compds. are putative ligands for fibroblast growth factors and have the unusual sequence (GlcN-IdoA). They were obtained from two common disaccharide precursors by a versatile synthetic procedure.

IT 364378-92-7P

RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(synthesis of heparin-related fragments sulfated tetrasaccharides as potential ligands for fibroblast growth factors)

RN 364378-92-7 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 2-propenyl 4-0-[6-0-acetyl-2-azido-2-deoxy-3-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-0-(phenylmethyl)-, methyl ester, 2-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

## IT 245109-89-1

RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis of heparin-related fragments sulfated tetrasaccharides as potential ligands for fibroblast growth factors)

RN 245109-89-1 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 2-propenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

# IT 364378-91-6P 364378-94-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of heparin-related fragments sulfated tetrasaccharides as potential ligands for fibroblast growth factors)

RN 364378-91-6 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 2-propenyl 4-O-[2-azido-2-deoxy-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate (9CI) (CA INDEX NAME)

RN 364378-94-9 HCAPLUS

CN .alpha.-L-Idopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 10 OF 13 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1999:512080 HCAPLUS

DOCUMENT NUMBER:

131:257764

TITLE:

Synthesis of disaccharidic sub-units of a new series

of heparin related oligosaccharides

AUTHOR(S):

La Ferla, Barbara; Lay, Luigi; Guerrini, Marco;

CORPORATE SOURCE:

Poletti, Laura; Panza, Luigi; Russo, Giovanni Universita degli Studi di Milano, Dipartimento di

Chimica Organica e Industriale, Centro di Studio sulle Sostanze Organiche Naturali del CNR, Milan, 21-20133,

Italy

SOURCE:

Tetrahedron (1999), 55(32), 9867-9880

CODEN: TETRAB; ISSN: 0040-4020

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

GI

AB The chem. synthesis of disaccharides I (X = Bn, Y = Ac; X,Y = CHPh), useful building-blocks for the prepn. of a new series of heparin related oligosaccharides contg. the unusual sequence (GlcN-IdoA)n, is described. In addn., the orthogonality of the protective groups would allow access to a wide array of differently sulfated oligosaccharides. As the simplest members of this new class of oligomer, the synthesis of sulfated disaccharides II (R = SO3Na, H) fully deprotected is reported.

# IT 245109-89-1P 245110-04-7P 245110-05-8P

245110-06-9P 245110-07-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of disaccharidic sub-units of a new series of heparin related oligosaccharides)

RN 245109-89-1 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 2-propenyl 4-0-[6-0-acetyl-2-azido-2-deoxy-3,4-bis-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-0-(phenylmethyl)-, methyl ester, 2-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 245110-04-7 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 2-propenyl 4-O-[2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 245110-05-8 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 2-propenyl 4-O-[2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-6-O-sulfo-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, 6-methyl ester, 2-(hydrogen sulfate), disodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

$$H_2C$$

OSO3H

Ph

OSO3H

Ph

OSO3H

Ph

OSO3H

Ph

ON

Ph

N3

●2 Na

RN 245110-06-9 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 2-propenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 245110-07-0 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 2-propenyl 4-0-[6-0-acetyl-2-azido-2deoxy-3,4-bis-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-0-(phenylmethyl)-, methyl ester, 2-(hydrogen sulfate), sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

Na

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L27 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER:

1996:472722 HCAPLUS

DOCUMENT NUMBER:

125:196150

TITLE:

Synthetic studies of glycosylserines in the carbohydrate-protein region of proteoglycans

AUTHOR(S):

Tamura, Jun Ichi; Neumann, Klaus W.; Ogawa, Tomoya Inst. Phys. Chem. Res., RIKEN, Saitama, 351, Japan

CORPORATE SOURCE:

Liebigs Annalen (1996), (8), 1239-1257

SOURCE:

CODEN: LANAEM; ISSN: 0947-3440

PUBLISHER: DOCUMENT TYPE: VCH

LANGUAGE:

Journal English

GI

- As series of 6 glycosylserines which are located in the carbohydrate-protein linkage region of proteoglycans, including the chondroitin penta- and hepta saccharides GalNAc.alpha.(1-4)R (I), GalNAc.beta.(1-4)R (II) and GalNAc.beta.(1-4)ClcA.beta.(1-3)Gal-NAc(1-4)R (III) as well as the heparin pentasaccharide GlcNAc.alpha.(1-4)R (IV) [R = GlcA.beta.(1-3)Gal.beta.(1-3)Gal.beta.(1-4)Xyl.beta.-Ser] were systematically synthesized. Trisaccharide acceptor V (Bzl = CH2Ph, Piv = COCMe3) having a Gal(1-3)Gal.beta.(1-4)Xyl sequence was glycosylated with di- and tetrasaccharide donors, which correspond to the non-reducing end sequences of I-IV, regio- and stereoselectively to afford penta- and hepta saccharides, resp. After the conversion into the resp. penta- and heptaosylimidates as well as tri- and tetraosylimidates, they were coupled with a serine deriv. to give tri-, tetra-, penta-, and heptaosyl serines. All the glycosylserines were then deprotected.
- RN 180274-59-3 HCAPLUS
  CN .alpha.-D-Glucopyranuronic acid, 4-O-(3,4,6-tri-O-acetyl-2-azido-2-deoxy-alpha.-D-glucopyranosyl)-, methyl ester, 2,3-bis(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

RN 180274-60-6 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-(3,4,6-tri-O-acetyl-2-azido-2-deoxy-alpha.-D-glucopyranosyl)-, methyl ester, 2,3-bis(4-methylbenzoate)

1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

## PAGE 1-A

PAGE 2-A

| Me

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L27 ANSWER 12 OF 13 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1984:7066 HCAPLUS

DOCUMENT NUMBER:

100:7066

TITLE:

Organic oligosaccharides, corresponding to fragments

of natural mucopolysaccharides, and their biological

applications

INVENTOR(S):

Petitou, Maurice; Jacquinet, Jean Claude; Sinay, Pierre; Choay, Jean; Lormeau, Jean Claude; Nassr,

Mahmoud

PATENT ASSIGNEE(S):

Choay S. A., Fr.

SOURCE:

Eur. Pat. Appl., 187 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent

LANGUAGE:

French

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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APPLICATION NO. DATE
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    _____
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    EP 84999
                     A1
                          19830803
                                         EP 1983-400110
                                                         19830117
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                                   FR 1982-621
    FR 2519987 A1 19830722
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PRIORITY APPLN. INFO.:
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                                     FR 1982-11679 A 19820702
FR 1982-13804 A 19820806
                                                     A 19820920
                                     FR 1982-15803
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                                     FR 1982-15804
                                                      A 19821027
                                     FR 1982-18003
                                                     A 19811223
                                     FR 1981-24132
                                                     A 19821027
A 19830117
                                     FR 1982-18001
                                     EP 1983-400110
                                     EP 1983-402109
                                                     A 19831027
                                     WO 1983-FR217
                                                     A 19831027
                                      US 1984-624628
                                                      A 19840626
```

OTHER SOURCE(S): CASREACT 100:7066

GI For diagram(s), see printed CA Issue.

AB Mucopolysaccharide fragments were synthesized. Thus the pentasaccharide I

was prepd from the monosaccharides in a synthesis comprising many steps. I has factor Xa antigonist activity >2000 U/mg.

IT 87326-45-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and dealkylation of)

RN 87326-45-2 HCAPLUS

CN .alpha.-D-Glucopyranosiduronic acid, 1-propenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-2,3-bis-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

## IT 87907-19-5P 87907-20-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and hydrogenation of)

RN 87907-19-5 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-2,3-bis-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 87907-20-8 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-2,3-bis-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L27 ANSWER 13 OF 13 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1983:558783 HCAPLUS

DOCUMENT NUMBER: 99:158783

TITLE: Derivatives with a uronic acid structure and their

biological applications

INVENTOR(S): Choay, Jean; Jacquinet, Jean Claude; Petitou, Maurice;

Sinay, Pierre

PATENT ASSIGNEE(S): Choay S. A., Fr.

SOURCE: Eur. Pat. Appl., 84 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: French

FAMILY ACC. NUM. COUNT: 5

PATENT INFORMATION:

PATENT NO.		DATE	APPLICATION NO.	DATE		
EP 82793 EP 82793	A1 B1	19830629 19890510	EP 1982-402378	19821223		
			IT, LI, LU, NL, SE			
FR 2518550	A1	19830624	FR 1981-24132	19811223		
FR 2519987	A1	19830722	FR 1981-24132 FR 1982-621	19820115		
FR 2520744	A1	19830805	FR 1982-1575	19820201		
EP 64012	A1	19821103	EP 1982-400770	19820428		
EP 64012	B1	19860723				
R: AT, BE,	CH, DE,	, FR, GB,	IT, LU, NL, SE			
FR 2527614	A1	19831202	FR 1982-9392 FR 1982-13804 FR 1982-15803	19820528		
FR 2531436	A1	19840210	FR 1982-13804	19820806		
FR 2533219	A1	19840323	FR 1982-15803	19820920		
FR 2533220	A1	19840323	FR 1982-15804	19820920		
FR 2535323	A1	19840504	FR 1982-18001	19821027		
FR 2535323	B1	19870814				
US 4987223	Α	19910122	US 1982-453731 AT 1982-402378	19821027		
AT 42956	E	19890515	AT 1982-402378	19821223		
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			FR 1902-021 A	19020113		
			FR 1982-1575 A	19820201		
			EP 1982-400770 A FR 1982-9392 A	19820428		
			FR 1982-9392 A	19820528		
			FR 1982-13804 A	19820806		
			FR 1982-15803 A	19820920		

FR 1982-15804 Α 19820920 FR 1982-18001 Α 19821027 FR 1981-8472 A · 19810428 FR 1982-2526 19820216 Α FR 1982-10891 Α 19820622 FR 1982-10892 Α 19820622 EP 1982-402378 A 19821223

OTHER SOURCE(S):

CASREACT 99:158783

GΙ

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 $OCH_2Ph$ 
 $OCH=CHMe$ 
 $OCH_2Ph$ 
 $OCH=CHMe$ 
 $OCH_2Ph$ 
 $OCH=CHMe$ 

AB Uronic acids I (OR-OR4 = reactive group, functionalizable group, protected OH) were prepd. for use as intermediates in the prepn. of enzyme substrates, haptens, or reagents. Thus, II was prepd. from glucose in 11 steps via glycosidation with allyl alc., CrO3 oxidn., and isomerization of the allyl glycoside.

IT 87326-45-2P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of)

RN 87326-45-2 HCAPLUS

CN .alpha.-D-Glucopyranosiduronic acid, 1-propenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-2,3-bis-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry unknown.

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

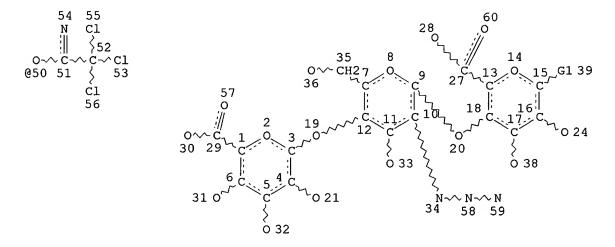
RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE

L6 8457 SEA FILE=REGISTRY SSS FUL L4

L15 STR

0~Si S~Ak S~Cb O~Ak O~Cb O @40 41 @42 43 @44 45 @46 47 @48 49 ||| O~C~Ak @61 62 63



VAR G1=OH/61/40/X/42/44/46/48/50

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 42 CONNECT IS E1 RC AT 43 CONNECT IS E2 RC AT 44 CONNECT IS E1 RC AT 45 CONNECT IS E1 RC AT 47 CONNECT IS E1 RC AT 49 CONNECT IS E1 RC AT 54 CONNECT IS E1 RC AT 63 DEFAULT MLEVEL IS ATOM GGCAT IS UNS AT 45 IS UNS AT 49 GGCAT DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 59

STEREO ATTRIBUTES: NONE

77 SEA FILE=REGISTRY SUB=L6 SSS FUL L15 L16

L25 20 SEA FILE=REGISTRY ABB=ON PLU=ON L16 AND 3 OC5/ES

L28 10 SEA FILE=HCAPLUS ABB=ON PLU=ON L25

## => d ibib ab hitstr 128 1-10

L28 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2003 ACS

2003:69762 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 138:385647

TITLE: Modular synthesis of heparin oligosaccharides

AUTHOR(S): Orgueira, Hernan A.; Bartolozzi, Alessandra; Schell,

Peter; Litjens, Remy E. J. N.; Palmacci, Emma R.;

Seeberger, Peter H.

CORPORATE SOURCE: Department of Chemistry, Massachusetts Institute of

Technology, Cambridge, MA, 02139, USA

Chemistry--A European Journal (2003), 9(1), 140-169 SOURCE:

CODEN: CEUJED; ISSN: 0947-6539

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

Journal DOCUMENT TYPE: LANGUAGE: English

A general, modular strategy for the first completely stereoselective synthesis of defined heparin oligosaccharides is described. Six monosaccharide building blocks (four differentially protected glucosamines, one glucuronic and one iduronic acid) were utilized to prep. di- and trisaccharide modules in a fully selective fashion. Installation of the .alpha.-glucosamine linkage was controlled by placing a conformational constraint on the uronic acid glycosyl acceptors thereby establishing a new concept for stereochem. control. Combination of disaccharide modules to form trans-uronic acid linkages was completely selective by virtue of C2 participating groups. Coupling reactions between disaccharide modules exhibited sequence dependence. While the union of many glucosamine uronic acid disaccharide modules did not meet any problems, certain sequences proved not accessible. Elaboration of glucosamine uronic acid disaccharide building blocks to trisaccharide modules by addn. of either one addnl. glucosamine or uronic acid allowed for stereoselective access to oligosaccharides as demonstrated on the example of a hexasaccharide resembling the ATIII-binding sequence. Final deprotection and sulfation yielded the fully synthetic heparin oligosaccharides. IT

## 444118-88-1P 444118-89-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heparin oligosaccharides using modular synthesis techniques)

RN 444118-88-1 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl O-2-O-acetyl-4-O-[(1,1dimethylethyl)dimethylsilyl]-6-methyl-3-0-(phenylmethyl)-.alpha.-L-

idopyranuronosyl-(1.fwdarw.4)-O-6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

CH2

RN 444118-89-2 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl O-2-O-acetyl-6-methyl-3-O- (phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O- (phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2003 ACS 2002:790621 HCAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

138:153743

TITLE:

The activation of fibroblast growth factors by

heparin: synthesis and structural study of rationally

modified heparin-like oligosaccharides

AUTHOR(S):

Ojeda, Rafael; Angulo, Jesus; Nieto, Pedro M.;

Martin-Lomas, Manuel

CORPORATE SOURCE:

Grupo de Carbohidratos, Instituto de Investigaciones

Quimicas, CSIC, Seville, 41092, Spain

SOURCE:

Canadian Journal of Chemistry (2002), 80(8), 917-936

CODEN: CJCHAG; ISSN: 0008-4042

PUBLISHER:

National Research Council of Canada

DOCUMENT TYPE: LANGUAGE:

Journal English

OTHER SOURCE(S):

CASREACT 138:153743

Heparin-like hexasaccharide and octasaccharide have been synthesized using a convergent block strategy and their soln. conformations have been detd. by NMR spectroscopy. Both oligosaccharides contain the basic structural motif of the regular region of heparin but have been constructed as to display neg. charged sulfate groups only on one side of their soln. helical structures. This charge distribution along the saccharide chain has been designed to get insight into the proposed mechanism for fibroblast growth factors (FGFs) activation that involves heparin-induced FGF dimerization.

TΤ 496780-15-5P 496780-16-6P 496780-17-7P

496780-20-2P 496780-21-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(activation of fibroblast growth factors by heparin and synthesis and structural study of rationally modified heparin-like oligosaccharides) 496780-15-5 HCAPLUS

RN CN

.alpha.-L-Idopyranosiduronic acid, 1-methylethyl O-2-(acetylamino)-2-deoxy-3-O-(phenylmethyl)-4,6-O-[(R)-phenylmethylene]-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-0-2-0-acetyl-6-methyl-3-0-(phenylmethyl)-.alpha.-Lidopyranuronosyl-(1.fwdarw.4)-0-2-azido-2-deoxy-3,6-bis-0-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-0-(phenylmethyl)-, methyl ester, 2-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

RN 496780-16-6 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 1-methylethyl O-2-(acetylamino)-2-deoxy-3-O-(phenylmethyl)-4,6-O-[(R)-phenylmethylene]-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-2-azido-2-deoxy-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-, methyl ester, 2-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 496780-17-7 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 1-methylethyl O-2-(acetylamino)-2-deoxy-3-O-(phenylmethyl)-4,6-O-[(R)-phenylmethylene]-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-2-azido-2-deoxy-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-, methyl ester, 2-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

RN 496780-20-2 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 1-methylethyl O-2-(acetylamino)-2-deoxy-3-O-(phenylmethyl)-4,6-O-[(R)-phenylmethylene]-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-acetyl-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-, methyl ester, 2-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 496780-21-3 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 1-methylethyl O-2-(acetylamino)-2-deoxy-3-O-(phenylmethyl)-4,6-O-[(R)-phenylmethylene]-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-, methyl ester, 2-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

REFERENCE COUNT: 62 THERE ARE 62 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:574867 HCAPLUS

DOCUMENT NUMBER: 2002:374007 II

TITLE: Solid- and solution-phase combinatorial libraries

synthesis of heparin and other glycosaminoglycans as

potential receptors

INVENTOR(S): Seeberger, Peter H.; Orgueira, Hernan; Schell, Peter

PATENT ASSIGNEE(S): Massachusetts Institute of Technology, USA

SOURCE: PCT Int. Appl., 131 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	]	PATENT NO.					ND	DATE			APPLICATION NO.  WO 2002-US1772						DATE				
	Ţ	 WO	2002058633			A	20020801			20020122											
	1	WO	2002	02058633		A3 200210		1017													
			W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,		
				co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,		
				GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,		
				LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,		
				PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,		
				UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	TM	
			RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,		
				CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,		
				BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
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AB Described is a modular, general synthetic strategy for the prepn. in soln and on a solid support of heparin, heparin-like glycosaminoglycans, glycosaminoglycans and non-natural analogs, e.g. I, wherein X is OH, acyloxy, silyloxy, halide, alkylthio, arylthio, alkoxy, OC(NH)CCl3; R is H, alkyl, aryl, arylalkyl, heteroarylalkyl, silyl, acyl, alkenyloxycarbonyl, aralkyloxycarbonyl; R1 is H, alkyl, aryl, arylalkyl, heteroarylalkyl and derivs. Addnl., the modular strategy provides the basis for the prepn. of combinatorial libraries and parallel libraries of

defined glycosaminoglycan oligosaccharides. The defined glycosaminoglycan structures may be used in high-throughput screening expts. to identify carbohydrate sequences that regulate a host of recognition and signal-transduction processes. The detn. of specific sequences involved in receptor binding holds great promise for the development of mol. tools which will allow modulation of processes underlying viral entry, angiogenesis, kidney diseases and diseases of the control nervous system (no data). Notably, the present invention enables the automated synthesis of glycosaminoglycans in much the same fashion that peptides and oligonucleotides are currently assembled. Thus, n-pentenyl (2-deoxy-2-sodium sulfonatamido-3,4,6-tri-0-sodium sulfonato-.alpha.-Dqlucopyranosyl)-(1.fwdarw.4)-(sodium 2-0-sodium sulfonato-.alpha.-Didopyranosyluronate)-(1.fwdarw.4)-(2-deoxy-2-sodium sulfonatamido-6-0sodium sulfonato-.alpha.-D-glucopyranosyl)-(1.fwdarw.4)-sodium 2-O-sodium sulfonato-.beta.-D-glucopyranosiduronate was prepd. as potential receptors.

# IT 444118-88-1P 444118-89-2P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (solid-phase combinatorial libraries synthesis of glycosaminoglycans as potential receptors)

RN 444118-88-1 HCAPLUS

CN

.beta.-D-Glucopyranosiduronic acid, 4-pentenyl O-2-O-acetyl-4-O-[(1,1-dimethylethyl)dimethylsilyl]-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B

PAGE 1-B

> CH2

444118-89-2 HCAPLUS RN

.beta.-D-Glucopyranosiduronic acid, 4-pentenyl O-2-O-acetyl-6-methyl-3-O-CN (phenylmethyl) -. alpha. -L-idopyranuronosyl-(1.fwdarw.4)-0-6-0-acetyl-2azido-2-deoxy-3-0-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-0-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L28 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2001:688082 HCAPLUS

DOCUMENT NUMBER:

136:53969

TITLE:

The activation of fibroblast growth factors by

heparin: synthesis, structure, and biological activity

of heparin-like oligosaccharides

AUTHOR(S):

De Paz, Jose-Luis; Angulo, Jesus; Lassaletta,

Jose-Maria; Nieto, Pedro M.; Redondo-Horcajo, Mariano;

Lozano, Rosa M.; Gimenez-Gallego, Guillermo;

Martin-Lomas, Manuel

CORPORATE SOURCE:

Grupo de Carbohidratos, Instituto de Investigaciones

Quimicas, CSIC, Seville, 41092, Spain

SOURCE:

ChemBioChem (2001), 2(9), 673-685

CODEN: CBCHFX; ISSN: 1439-4227

PUBLISHER:

Wiley-VCH Verlag GmbH

DOCUMENT TYPE:

Journal

LANGUAGE:

English

An effective strategy has been designed for the synthesis of oligosaccharides of different sizes structurally related to the regular region of heparin; this is illustrated by the prepn. of hexasaccharide 1 and octasaccharide 2. This synthetic strategy provides the oligosaccharide sequence contq. a D-glucosamine unit at the nonreducing

end that is not available either by enzymic or chem. degrdn. of heparin. It may permit, after slight modifications, the prepn. of oligosaccharide fragments with different charge distribution as well. NMR spectroscopy and mol. dynamics simulations have shown that the overall structure of 1 in soln. is a stable right-hand helix with four residues per turn. Hexasaccharide 1 and, most likely, octasaccharide 2 are, therefore, chem. well-defined structural models of naturally occurring heparin-like oligosaccharides for use in binding and biol. activity studies. Both compds. 1 and 2 induce the mitogenic activity of acid fibroblast growth factor (FGF1), with the half-max. activating concn. of 2 being equiv. to that of heparin. Sedimentation equil. anal. with compd. 2 suggests that heparin-induced FGF1 dimerization is not an abs. requirement for biol. activity.

# IT 382614-23-5P

CN

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(activation of fibroblast growth factors by heparin synthesis structure and biol. activity of heparin-like oligosaccharides)

RN 382614-23-5 HCAPLUS

.alpha.-L-Idopyranosiduronic acid, 1-methylethyl O-2-azido-2-deoxy-3-O-(phenylmethyl)-4,6-O-[(R)-phenylmethylene]-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-(2,2-dimethyl-1-oxopropyl)-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-2-azido-6-O-benzoyl-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-, methyl ester, 2-(2,2-dimethylpropanoate) (9CI) (CA INDEX NAME)

PAGE 2-A



REFERENCE COUNT:

77 THERE ARE 77 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2001:527055 HCAPLUS

DOCUMENT NUMBER:

135:288993

TITLE:

A rational approach to heparin-related fragments -

synthesis of differently sulfated tetrasaccharides as

potential ligands for fibroblast growth factors

AUTHOR(S):

Poletti, Laura; Fleischer, Martin; Vogel, Christian;

Guerrini, Marco; Torri, Giangiacomo; Lay, Luigi

CORPORATE SOURCE:

Department of Organic and Industrial Chemistry,

University of Milan, Milan, 20133, Italy

SOURCE:

European Journal of Organic Chemistry (2001), (14),

2727-2734

CODEN: EJOCFK; ISSN: 1434-193X

PUBLISHER:

Wiley-VCH Verlag GmbH

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 135:288993

AB Heparin-like tetrasaccharides 1-3, differing in their sulfation pattern at position 6 of the glucosamine units, were synthesized. The three compds. are putative ligands for fibroblast growth factors and have the unusual sequence (GlcN-IdoA). They were obtained from two common disaccharide precursors by a versatile synthetic procedure.

IT 364378-96-1P 364378-97-2P 364379-01-1P

364379-03-3P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis of heparin-related fragments sulfated tetrasaccharides as potential ligands for fibroblast growth factors)

RN 364378-96-1 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 2-propenyl O-2-azido-2-deoxy-3-O(phenylmethyl)-4,6-O-[(R)-phenylmethylene]-.alpha.-D-glucopyranosyl(1.fwdarw.4)-O-2-O-acetyl-6-methyl-3-O-(phenylmethyl)-.alpha.-Lidopyranuronosyl-(1.fwdarw.4)-O-6-O-acetyl-2-azido-2-deoxy-3-O(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-,
methyl ester, 2-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-B

CN

RN 364378-97-2 HCAPLUS

.alpha.-L-Idopyranosiduronic acid, 2-propenyl O-2-azido-2-deoxy-3-0-(phenylmethyl)-4,6-O-[(R)-phenylmethylene]-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-acetyl-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-2-azido-2-deoxy-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-, methyl ester, 2-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-B

CH<sub>2</sub>

RN 364379-01-1 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 2-propenyl O-2-azido-2-deoxy-3-O-(phenylmethyl)-4,6-O-[(R)-phenylmethylene]-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-3-O-(phenylmethyl)-2-O-sulfo-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-2-azido-2-deoxy-3-O-(phenylmethyl)-6-O-sulfo-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-, 6-methylester, 2-(hydrogen sulfate), compd. with N,N-dimethylmethanamine (1:3) (9CI) (CA INDEX NAME)

CM 1

CRN 364379-00-0 CMF C64 H72 N6 O30 S3

Absolute stereochemistry.

PAGE 1-B

CH<sub>2</sub>

CM 2

CRN 75-50-3 CMF C3 H9 N

RN 364379-03-3 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, 2-propenyl O-2-azido-2-deoxy-3-O-(phenylmethyl)-4,6-O-[(R)-phenylmethylene]-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-3-O-(phenylmethyl)-2-O-sulfo-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-2-azido-2-deoxy-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-, 6-methyl ester, 2-(hydrogen sulfate), compd. with N,N-dimethylmethanamine (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 364379-02-2 CMF C71 H78 N6 O27 S2

# Absolute stereochemistry.

PAGE 1-B

CM 2

CRN 75-50-3 CMF C3 H9 N

CH3 H3C-N-CH3

REFERENCE COUNT:

15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER:

1999:571345 HCAPLUS

DOCUMENT NUMBER:

131:299635

TITLE:

A synthetic heparan sulfate pentasaccharide, exclusively containing L-iduronic acid, displays higher affinity for FGF-2 than its D-glucuronic

acid-containing isomers

AUTHOR(S):

Kovensky, Jose; Duchaussoy, Philippe; Bono, Francoise;

Salmivirta, Markku; Sizun, Philippe; Herbert, Jean-Marc; Petitou, Maurice; Sinay, Pierre

CORPORATE SOURCE:

Ecole Normale Superieure, Departement de Chimie,

Associe au CNRS, Paris, 75231, Fr.

SOURCE:

Bioorganic & Medicinal Chemistry (1999), 7(8),

1567-1580

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal English

LANGUAGE:

It has been suggested that the FGF-2 binding site on heparan sulfate chains is a trisulfated pentasaccharide contg. three hexuronic acid units. The configuration at C-5 of two of them being undetd., we have synthesized the four possible pentasaccharides, and have evaluated their FGF-2 binding affinity through in vitro biol. assays. The pentasaccharide contg. L-iduronic acid as the sole hexuronic acid showed higher affinity for FGF-2 than the other pentasaccharides, where one hexuronic acid unit at least is D-glucuronic acid.

181024-60-2

RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. of heparan sulfate pentasaccharides contg. L-iduronic acid which display higher affinity for FGF-2 than D-glucuronic acid-contg.

isomers)

RN 181024-60-2 HCAPLUS

CN.alpha.-L-Idopyranosiduronic acid, methyl O-2-O-acetyl-6-methyl-3-O-(phenylmethyl) -. alpha.-L-idopyranuronosyl-(1.fwdarw.4)-0-6-0-acetyl-2azido-2-deoxy-3-0-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-0-(phenylmethyl)-2-O-2-propenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

# IT 247077-92-5P 247077-93-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heparan sulfate pentasaccharides contg. L-iduronic acid which display higher affinity for FGF-2 than D-glucuronic acid-contg. isomers)

RN 247077-92-5 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, methyl O-2,3-di-O-benzoyl-4-O-(1,4-dioxopentyl)-6-methyl-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-O-2-azido-2-deoxy-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-2-O-2-propenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 247077-93-6 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, methyl O-2,3-di-O-benzoyl-6-methyl.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-O-2-azido-2-deoxy-3,6-bis-O(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-2O-2-propenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT:

31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L28 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1996:734594 HCAPLUS

DOCUMENT NUMBER:

126:89678

TITLE:

Binding of heparan sulfate to fibroblast growth

factor-2. Total preparation of a putative

pentasaccharide binding site

AUTHOR(S):

Kovensky, Jose; Duchaussoy, Philippe; Petitou,

Maurice; Sinay, Pierre

CORPORATE SOURCE:

Dep. Chim., Ecole Normale Super., Paris, 75231, Fr.

SOURCE:

Tetrahedron: Asymmetry (1996), 7(11), 3119-3128

CODEN: TASYE3; ISSN: 0957-4166

PUBLISHER: DOCUMENT TYPE: Elsevier Journal

LANGUAGE: English AB The total chem. prepn. of the pentasaccharide Me O-(.alpha.-L-

idopyranosyluronic acid)-(1.fwdarw.4)-O-(2-deoxy-2-sulfamido-.alpha.-D-glucopyranosyl)-(1.fwdarw.4)-O-(.alpha.-L-idopyranosyluronic acid)-(1.fwdarw.4)-O-(2-deoxy-2-sulfamido-.alpha.-D--glycopyranosyl)-(1.fwdarw.4)-2-O-sulfo-.alpha.-L-idopyranosiduronic acid is reported. This sequence is a possible candidate for binding to basic fibroblast growth factor (FGF-2).

ΙT 181024-59-9P 181024-60-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(total prepn. of uronate-contg. pentasaccharide as binding site for fibroblast growth factor-2)

RN 181024-59-9 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, methyl O-2-O-acetyl-4-O-(1,4dioxopentyl)-6-methyl-3-0-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-0-6-0-acetyl-2-azido-2-deoxy-3-0-(phenylmethyl)-.alpha.-Dglucopyranosyl-(1.fwdarw.4)-3-0-(phenylmethyl)-2-0-2-propenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 181024-60-2 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, methyl O-2-O-acetyl-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-6-O-acetyl-2azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-2-O-2-propenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L28 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1996:412705 HCAPLUS

DOCUMENT NUMBER: 125:222302

TITLE: Total synthesis of a pentasaccharide sequence in

heparin/heparan sulfate required for binding of basic

fibroblast growth factor

AUTHOR(S): Kovensky, Jose; Duchaussoy, Philippe; Petitou,

Maurice; Sinay, Pierre

CORPORATE SOURCE: Dep. Chimie, Ecole Normale Superieure, Paris, 75231,

Fr.

SOURCE: Carbohydrate Letters (1996), 2(1), 73-78

CODEN: CLETEC; ISSN: 1073-5070

PUBLISHER: Harwood
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This letter reports the total chem. synthesis of the hexasodium salt of the pentasaccharide Me O-(.alpha.-L-idopyranosyluronic acid)-(1.fwdarw.4)-O-(2-deoxy-2-sulfamido-.alpha.-D-glucopyranosyl)-(1.fwdarw.4)-O-(.alpha.-L-idopyranosyluronic acid)-(1.fwdarw.4)-O-(2-deoxy-2-sulfamido-.alpha.-D-glucopyranosyl)-(1.fwdarw.4)-2-O-sulfo-.alpha.-L-idopyranosid uronic acid, a proposed candidate for binding of basic fibroblast growth factor (FGF-2).

# IT 181024-59-9P 181024-60-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(total synthesis of a pentasaccharide sequence in heparin/heparan sulfate required for binding of basic fibroblast growth factor)

RN 181024-59-9 HCAPLUS

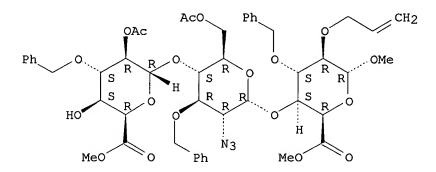
CN .alpha.-L-Idopyranosiduronic acid, methyl O-2-O-acetyl-4-O-(1,4-dioxopentyl)-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-2-O-2-propenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

RN 181024-60-2 HCAPLUS

CN .alpha.-L-Idopyranosiduronic acid, methyl O-2-O-acetyl-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-6-O-acetyl-2azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-2-O-2-propenyl-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L28 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 1995:349989 HCAPLUS

DOCUMENT NUMBER: 122:265852

TITLE: Synthesis and fibroblast growth factor binding of

oligosaccharides related to heparin and heparin

sulfate

AUTHOR(S): Westman, Jacob; Nilsson, Marianne; Ornitz, David M.;

Svahn, Carl-Magnus

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CORPORATE SOURCE:
                         Organic Chemistry, Kabi Pharmacia AB, Stockholm, S-112
                         87, Swed.
                         Journal of Carbohydrate Chemistry (1995), 14(1),
SOURCE:
                         95-113
                         CODEN: JCACDM; ISSN: 0732-8303
PUBLISHER:
                         Dekker
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         English
    A series of six disaccharides, .alpha.-L-iodoA-(1.fwdarw.4)-.alpha.-D-
     GlCNAc-1.fwdarw.OMe, .alpha.-L-IodoA-(1.fwdarw.4)-.alpha.-D-GlcNSO3-
     1.fwdarw.OMe, .beta.-D-GlcA-(1.fwdarw.4)-.alpha.-D-GlcNAc-1.fwdarw.OMe,
     .beta.-D-GlcA-(1.fwdarw.4)-.alpha.-D-GlcNSO3-1.fwdarw.OMe,
     .alpha.-D-GlcNAc-(1.fwdarw.4)-.beta.-D-GlcA-1.fwdarw.OMe,
     .beta.-D-GlcNAc-(1.fwdarw.4)-.beta.-D-GlcA-1.fwdarw.0Me, and two
     trisaccharide, .beta.-D-GlcA-(1.fwdarw.4)-.alpha.-D-GlcNAc-(1.fwdarw.4)-
     .beta.-D-GlcA-1.fwdarw.OMe, .alpha.-L-IodA-(1.fwdarw.4)-.alpha.-D-GlcNSO3-
     (1.fwdarw.4)-.beta.-D-GlcA-1.fwdarw.OMe was prepd. and screened for biol.
     activity in vitro. The oligosaccharides were tested, together with a
     previously synthesized trisaccharide, .alpha.-L-IodaA-(1.fwdarw.4)-.alpha.-
     D-GlcNAc-(1.fwdarw.4)-.beta.-D-GlcA-1.fwdarw.OMe, and three
     tetrasaccharides, .alpha.-L-IodA-(1.fwdarw.4)-.alpha.-D-GlcNAc-
     (1.fwdarw.4)-.beta.-D-GlcA-(1.fwdarw.3)-.beta.-D-Gal-1.fwdarw.OMe,
     .beta.-D-GlcA-(1.fwdarw.3)-.beta.-D-Gal-(1.fwdarw.3)-.beta.-D-Gal-
     (1.fwdarw.3)-2-P03-.beta.-D-Xyl-1.fwdarw.OMe, .beta.-D-GlcA-(1.fwdarw.3)-
     .beta.-D-Gal-(1.fwdarw.3)-.beta.-D-Gal-(1.fwdarw.3)-.beta.-D-Xyl-
     1.fwdarw.OMe, for competitive binding to acidic and basic fibroblast
     growth factor in an assay using 125I labeled heparin. It was found that
     the non-sulfated trisaccharides, .alpha.-L-IodA-(1.fwdarw.4)-.alpha.-D-
     GlcNAc-(1.fwdarw.4)-.beta.-D-GlcA-1.fwdarw.OMe and .beta.-D-GlcA-
     (1.fwdarw.4)-.alpha.-D-GlcNAc-(1.fwdarw.4)-.beta.-D-GlcA-1.fwdarw.OMe, and
     two of the disaccharides can bind to acidic as well as basic FGF.
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (synthesis and fibroblast growth factor binding of oligosaccharides
        related to heparin and heparin sulfate)
RN
     151992-81-3 HCAPLUS
CN
     .beta.-D-Glucopyranosiduronic acid, methyl O-2,3,4-tri-O-acetyl-6-methyl-
     .alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-2-azido-2-deoxy-3,6-bis-O-
     (phenylmethyl) -. alpha. -D-glucopyranosyl-(1.fwdarw.4)-2,3-bis-0-
     (phenylmethyl) -, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)
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# IT 162552-89-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthesis and fibroblast growth factor binding of oligosaccharides related to heparin and heparin sulfate)

RN 162552-89-8 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, methyl O-2,3,4-tri-O-acetyl-6-methyl-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-O-2-azido-2-deoxy-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-2,3-bis-O-(phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L28 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2003 ACS 1994:54861 HCAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 120:54861

Synthesis of the methyl glycosides of a tri- and a TITLE:

tetra-saccharide related to heparin and heparan

sulfate

AUTHOR(S): Nilsson, Marianne; Svahn, Carl Magnus; Westman, Jacob

Kabi Pharm., Stockholm, S-112 87, Swed. CORPORATE SOURCE: SOURCE:

Carbohydrate Research (1993), 246, 161-72

CODEN: CRBRAT; ISSN: 0008-6215

DOCUMENT TYPE: Journal LANGUAGE: English

The Me glycoside of a tetrasaccharide isolated from heparin, Me O-(.alpha.-L-idopyranosyluronic acid)-(1.fwdarw.4)-O-(2-acetamido-2-deoxy-.alpha.-D-glucopyranosyl)-(1.fwdarw.4)-O-(.beta.-D-glucopyranosyluronic acid)-(1.fwdarw.3)-O-.beta.-D-galactopyranoside disodium salt and a trisaccharide deriv. thereof, Me O-(.alpha.-L-idopyranosyluronic acid)-(1.fwdarw.4)-O-(2-acetamido-2-deoxy-.alpha.-D-glucopyranosyl)-(1.fwdarw.4)-O-.beta.-D-glucopyranosyluronic acid disodium salt, were synthesized using a block-type strategy. A suitable protected disaccharide block of iduronic acid and glucosamine (IdoA-GlcN) was used as a key intermediate for the syntheses and was glycosylated with a protected galactose deriv. and a disaccharide block of glucuronic acid and galactose (GlcA-Gal) to give tri- and tetra-saccharide derivs., resp. Deprotection gave the target compds., e.g. I.

IT151992-81-3

> RL: RCT (Reactant); RACT (Reactant or reagent) (prepn. as intermediate in prepn. of oligosaccharide related to heparin and heparan sulfate)

RN 151992-81-3 HCAPLUS

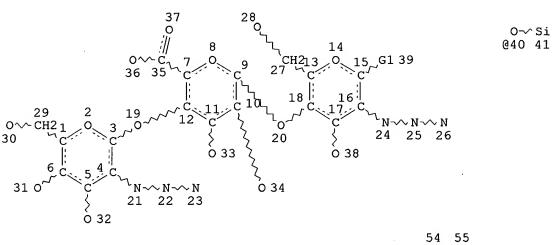
CN .beta.-D-Glucopyranosiduronic acid, methyl 0-2,3,4-tri-O-acetyl-6-methyl-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-2-azido-2-deoxy-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-2,3-bis-O-(phenylmethyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

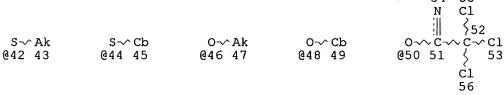
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NUMBER OF NODES IS 9

STEREO ATTRIBUTES: NONE

L6 8457 SEA FILE=REGISTRY SSS FUL L4 L13 STR





VAR G1=OH/40/X/42/44/46/48/50

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GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 56

STEREO ATTRIBUTES: NONE

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L26 62 SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND 3 OC5/ES

L29 10 SEA FILE=HCAPLUS ABB=ON PLU=ON L26

=> d ibib ab hitstr 129 1-10

L29 ANSWER 1 OF 10 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2003:221700 HCAPLUS

DOCUMENT NUMBER: 138:221788

TITLE: Synthetic heparin pentasaccharides via glycosylation

reaction using different protecting groups

INVENTOR(S):

Seifert, Joachim; Singh, Latika; Ramsdale, Tracie Elizabeth; West, Michael Leo; Drinnan, Nicholas Barry

PATENT ASSIGNEE(S): Alchemia Pty Ltd., Australia

PCT Int. Appl., 207 pp. SOURCE:

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	CENT	NO.		KI	ND	DATE			A	PPLI	CATI	ON NO	ο.	DATE			
WO	2003022860			A	 1	20030320			WO 2002-AU122			- <b>-</b> 8	20020906				
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		co,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FΙ,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	NZ,	OM,	PH,
		PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,
		UA,	ŪG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW,	AM,	ΑZ,	BY,	KG,	ΚZ,	MD,
		RU,	ТJ,	MT													
	RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	ΒE,	BG,
		CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,
		PT,	SE,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,
		NE,	SN,	TD,	TG												
RITY APPLN. INFO.:							AU 2001-7587					A 20010907					

PRIORITY APPLN. INFO.:

AU 2001-7587 A 20010907

OTHER SOURCE(S): MARPAT 138:221788

Synthetic monosaccharides, disaccharides, trisaccharides, tetrasaccharides and pentasaccharides for use in the prepn. of synthetic heparinoids. Thus, heparin pentasaccharide I (R1 = SO3Na) was prepd. via glycosylation reaction using different protecting groups.

501090-62-6P 501090-63-7P 501090-64-8P 501090-65-9P 501090-66-0P 501090-67-1P 501090-68-2P 501090-69-3P

> RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(synthetic heparin pentasaccharides via glycosylation reaction using different protecting groups)

RN 501090-62-6 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-3,6-bis-O-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501090-63-7 HCAPLUS

.beta.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-(4-methoxyphenyl)-3-O-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

RN 501090-64-8 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-0-6-methyl-2,3-bis-O(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1O-[(1,1-dimethylethyl)diphenylsilyl]-3,6-bis-O-[(4-methoxyphenyl)methyl](9CI) (CA INDEX NAME)

RN 501090-65-9 HCAPLUS

.beta.-D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-(4-methoxyphenyl)-3-O-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 2-A

OMe

RN 501090-66-0 HCAPLUS

.beta.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-[(4-methoxyphenyl)methyl]-, 3-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501090-67-1 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-(4-methoxyphenyl)-,3-benzoate (9CI) (CA INDEX NAME)

RN 501090-68-2 HCAPLUS

.beta.-D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-[(4-methoxyphenyl)methyl]-,
3-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501090-69-3 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-0-6-methyl-2,3-bis-O(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-(4-methoxyphenyl)-, 3-benzoate
(9CI) (CA INDEX NAME)

# Absolute stereochemistry.

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ΙT
     501090-88-6P 501090-90-0P 501090-92-2P
     501090-95-5P 501090-97-7P 501090-99-9P
     501091-02-7P 501091-05-0P 501091-20-9P
     501091-21-0P 501091-22-1P 501091-24-3P
    501091-25-4P 501091-26-5P 501091-27-6P
     501091-29-8P 501091-64-1P 501091-65-2P
    501091-66-3P 501091-67-4P 501091-76-5P
    501091-77-6P 501091-78-7P 501092-04-2P
    RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP
     (Preparation)
        (synthetic heparin pentasaccharides via glycosylation reaction using
        different protecting groups)
    501090-88-6 HCAPLUS
RN
CN
     .beta.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-
     3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-
    benzoyl-6-methyl-3-0-(phenylmethyl)-.beta.-D-glucopyranuronosyl-
     (1.fwdarw.4)-2-azido-2-deoxy-1-0-[(1,1-dimethylethyl)diphenylsilyl]-3,6-
    bis-O-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)
```

RN #501090-90-0 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-benzoyl-6-methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-(4-methoxyphenyl)-3-O-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 2-A | OMe

RN 501090-92-2 HCAPLUS CN .beta.-D-Glucopyrano

.beta.-D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-benzoyl-6-methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-3,6-bis-O-[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501090-95-5 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-benzoyl-6methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-(4-methoxyphenyl)-3-O[(4-methoxyphenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A

OMe

PAGE 2-A

RN 501090-97-7 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-benzoyl-6-methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-[(4-methoxyphenyl)methyl]-, 3-benzoate (9CI) (CA INDEX NAME)

RN 501090-99-9 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-benzoyl-6-methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-(4-methoxyphenyl)-, 3-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501091-02-7 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-benzoyl-6methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-[(4methoxyphenyl)methyl]-, 3-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501091-05-0 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-0-2-O-benzoyl-6methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-(4-methoxyphenyl)-,
3-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501091-20-9 HCAPLUS

CN D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-

(phenylmethyl) - .beta. -D-glucopyranuronosyl-(1.fwdarw.4) -2-azido-2-deoxy3,6-bis-O-[(4-methoxyphenyl)methyl]-, 1-(2,2,2-trichloroethanimidate)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501091-21-0 HCAPLUS

CN D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-3-O-[(4-methoxyphenyl)methyl]-, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 501091-22-1 HCAPLUS
CN D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl).alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl).beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-3,6-bis-O-[(4-methoxyphenyl)methyl]-, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX

Absolute stereochemistry.

NAME)

RN 501091-24-3 HCAPLUS

CN D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl).alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl).beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-(4methoxyphenyl)-3-O-[(4-methoxyphenyl)methyl]-, 1-(2,2,2trichloroethanimidate) (9CI) (CA INDEX NAME)

PAGE 2-A

| OMe

RN 501091-25-4 HCAPLUS

CN D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-, 3-benzoate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501091-26-5 HCAPLUS

CN D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-, 3-benzoate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 501091-27-6 HCAPLUS

CN D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-, 3-benzoate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501091-29-8 HCAPLUS

CN D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-, 3-benzoate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501091-64-1 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-0-2-O-benzoyl-6methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-[(4methoxyphenyl)methyl]-3-O-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501091-65-2 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O- (phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-benzoyl-6-

methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-(4-methoxyphenyl)-3-O-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501091-66-3 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-benzoyl-6-methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-[(4-methoxyphenyl)methyl]-3-O-2-propenyl- (9CI) (CA INDEX NAME)

RN 501091-67-4 HCAPLUS

CN .beta.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-benzoyl-6-methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1-O-[(1,1-dimethylethyl)diphenylsilyl]-6-O-(4-methoxyphenyl)-3-O-2-propenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501091-76-5 HCAPLUS

CN D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-benzoyl-6-methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-3,6-bis-O-[(4-methoxyphenyl)methyl]-, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 501091-77-6 HCAPLUS

CN D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3-O-2-propenyl-, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

#### Absolute stereochemistry.

RN 501091-78-7 HCAPLUS

CN D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-3-O-2-propenyl-, 1-(2,2,2-trichloroethanimidate) (9CI)

(CA INDEX NAME)

Absolute stereochemistry.

RN 501092-04-2 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl O-2-azido-6-O-benzoyl-2-deoxy-3-O-2-propenyl-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-benzoyl-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-3-O-(phenylmethyl)-, 6-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 501091-30-1 501091-32-3 501091-34-5 501091-50-5 501091-52-7 501091-86-7

501091-94-7 501092-26-8 501092-48-4

501092-49-5 501092-50-8 501092-51-9

501092-92-8 501092-93-9

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthetic heparin pentasaccharides via glycosylation reaction using

different protecting groups)

RN 501091-30-1 HCAPLUS

CN

D-Glucopyranose, O-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-3-O-[(4-methoxyphenyl)methyl]-, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501091-32-3 HCAPLUS

CN D-Glucopyranose, O-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-3,6-bis-O-[(4-methoxyphenyl)methyl]-, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

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RN 501091-34-5 HCAPLUS

CN D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(1,1-dimethylethyl)diphenylsilyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-3,6-bis-O-[(4-methoxyphenyl)methyl]-, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 501091-50-5 HCAPLUS

CN .alpha.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-, 3-benzoate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501091-52-7 HCAPLUS

CN .alpha.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-

(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-, 3-benzoate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501091-86-7 HCAPLUS

CN .alpha.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(1,1-dimethylethyl)diphenylsilyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-, 3-benzoate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 501091-94-7 HCAPLUS

CN .alpha.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(1,1-dimethylethyl)diphenylsilyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-3-O-[(4-methoxyphenyl)methyl]-, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

OMe

RN 501092-26-8 HCAPLUS

CN .alpha.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(1,1-dimethylethyl)diphenylsilyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-,3-benzoate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 501092-48-4 HCAPLUS

.alpha.-D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6O-[(4-methoxyphenyl)methyl]-3-O-2-propenyl-, 1-(2,2,2trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501092-49-5 HCAPLUS

CN .alpha.-D-Glucopyranose, O-2-azido-6-O-benzoyl-2-deoxy-3,4-bis-O(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6O-(4-methoxyphenyl)-3-O-2-propenyl-, 1-(2,2,2-trichloroethanimidate) (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

RN 501092-50-8 HCAPLUS

CN .alpha.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-3-O-2-propenyl-, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501092-51-9 HCAPLUS

CN .alpha.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-(4-methoxyphenyl)-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3-O-2-propenyl-, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 501092-92-8 HCAPLUS

cn .alpha.-D-Glucopyranose, O-2-azido-2-deoxy-6-O-[(1,1-dimethylethyl)diphenylsilyl]-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-O-[(4-methoxyphenyl)methyl]-3-O-2-propenyl-, 1-(2,2,2-trichloroethanimidate)

(9CI) (CA INDEX NAME)

RN 501092-93-9 HCAPLUS

CN .alpha.-D-Glucopyranose, O-2-azido-2-deoxy-6-0-[(1,1dimethylethyl)diphenylsilyl]-3,4-bis-O-(phenylmethyl)-.alpha.-Dglucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-Dglucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-6-0-(4-methoxyphenyl)-3-0-2-propenyl-, 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 2 OF 10 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER:

DOCUMENT NUMBER:

2003:69762 HCAPLUS

138:385647

TITLE:

AUTHOR(S):

Modular synthesis of heparin oligosaccharides

Orgueira, Hernan A.; Bartolozzi, Alessandra; Schell,

Peter; Litjens, Remy E. J. N.; Palmacci, Emma R.;

Seeberger, Peter H.

CORPORATE SOURCE:

Department of Chemistry, Massachusetts Institute of

Technology, Cambridge, MA, 02139, USA

SOURCE:

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CODEN: CEUJED; ISSN: 0947-6539

PUBLISHER: DOCUMENT TYPE: Wiley-VCH Verlag GmbH & Co. KGaA

LANGUAGE:

Journal English

A general, modular strategy for the first completely stereoselective AB synthesis of defined heparin oligosaccharides is described. Six monosaccharide building blocks (four differentially protected glucosamines, one glucuronic and one iduronic acid) were utilized to prep. di- and trisaccharide modules in a fully selective fashion. Installation of the .alpha.-glucosamine linkage was controlled by placing a conformational constraint on the uronic acid glycosyl acceptors thereby establishing a new concept for stereochem. control. Combination of disaccharide modules to form trans-uronic acid linkages was completely selective by virtue of C2 participating groups. Coupling reactions between disaccharide modules exhibited sequence dependence. While the union of many glucosamine uronic acid disaccharide modules did not meet any problems, certain sequences proved not accessible. Elaboration of glucosamine uronic acid disaccharide building blocks to trisaccharide modules by addn. of either one addnl. glucosamine or uronic acid allowed for stereoselective access to oligosaccharides as demonstrated on the example of a hexasaccharide resembling the ATIII-binding sequence. Final deprotection and sulfation yielded the fully synthetic heparin oligosaccharides.

IT 444118-90-5P 525593-61-7P 525593-66-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of heparin oligosaccharides using modular synthesis techniques)

RN 444118-90-5 HCAPLUS

CN

.beta.-D-Glucopyranose, O-6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl) - alpha. -D-glucopyranosyl-(1.fwdarw.4) -O-2-O-(1,4dioxopentyl)-6-methyl-3-0-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3,6-diacetate (9CI) (CA INDEX NAME)

RN 525593-61-7 HCAPLUS

CN .beta.-D-Glucopyranoside, 4-pentenyl O-6-O-acetyl-2-azido-2-deoxy-4-O-(1,4-dioxopentyl)-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-acetyl-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-3-O-(phenylmethyl)-, 6-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-B

CH2

RN 525593-66-2 HCAPLUS

CN .beta.-D-Glucopyranose, O-6-O-acetyl-2-azido-2-deoxy-3,4-bis-O(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-(1,4dioxopentyl)-6-methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl(1.fwdarw.4)-2-azido-2-deoxy-, 3,6-diacetate 1-(2,2,2trichloroethanimidate) (9CI) (CA INDEX NAME)

IT 525593-74-2P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of heparin oligosaccharides using modular synthesis techniques)

RN 525593-74-2 HCAPLUS

CN .beta.-D-Glucopyranoside, 4-pentenyl O-6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-acetyl-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-3-O-(phenylmethyl)-, 6-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

REFERENCE COUNT:

93 THERE ARE 93 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 3 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

2002:574867 HCAPLUS

DOCUMENT NUMBER:

137:125357

TITLE:

Solid- and solution-phase combinatorial libraries synthesis of heparin and other glycosaminoglycans as

potential receptors

INVENTOR(S):

Seeberger, Peter H.; Orgueira, Hernan; Schell, Peter

Massachusetts Institute of Technology, USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 131 pp.

DOCUMENT TYPE:

CODEN: PIXXD2

LANGUAGE:

Patent English

FAMILY ACC. NUM. COUNT:

TILI ACC. NOM. COUNT:

PATENT INFORMATION:

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APPLICATION NO. DATE
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     WO 2002058633
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                                          WO 2002-US1772
                                                           20020122
     WO 2002058633
                     A3
                           20021017
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             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
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             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                     A1 20030116
                                         US 2002-54724 20020122
     US 2003013862
                                       US 2001-263621P P 20010123
PRIORITY APPLN. INFO.:
                        MARPAT 137:125357
OTHER SOURCE(S):
    Described is a modular, general synthetic strategy for the prepn. in soln.
     and on a solid support of heparin, heparin-like glycosaminoglycans,
     glycosaminoglycans and non-natural analogs, e.g. I, wherein X is OH,
     acyloxy, silyloxy, halide, alkylthio, arylthio, alkoxy, OC(NH)CCl3; R is
    H, alkyl, aryl, arylalkyl, heteroarylalkyl, silyl, acyl,
     alkenyloxycarbonyl, aralkyloxycarbonyl; R1 is H, alkyl, aryl, arylalkyl,
    heteroarylalkyl and derivs. Addnl., the modular strategy provides the
    basis for the prepn. of combinatorial libraries and parallel libraries of
    defined glycosaminoglycan oligosaccharides. The defined glycosaminoglycan
     structures may be used in high-throughput screening expts. to identify
     carbohydrate sequences that regulate a host of recognition and
     signal-transduction processes. The detn. of specific sequences involved
     in receptor binding holds great promise for the development of mol. tools
    which will allow modulation of processes underlying viral entry,
    angiogenesis, kidney diseases and diseases of the control nervous system
     (no data). Notably, the present invention enables the automated synthesis
     of glycosaminoglycans in much the same fashion that peptides and
     oligonucleotides are currently assembled. Thus, n-pentenyl
     (2-deoxy-2-sodium sulfonatamido-3,4,6-tri-O-sodium sulfonato-.alpha.-D-
     glucopyranosyl)-(1.fwdarw.4)-(sodium 2-O-sodium sulfonato-.alpha.-D-
     idopyranosyluronate)-(1.fwdarw.4)-(2-deoxy-2-sodium sulfonatamido-6-0-
     sodium sulfonato-.alpha.-D-glucopyranosyl)-(1.fwdarw.4)-sodium 2-0-sodium
    sulfonato-.beta.-D-glucopyranosiduronate was prepd. as potential
    receptors.
IT
     444118-90-5P 444118-91-6P
    RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
    preparation); PREP (Preparation); RACT (Reactant or reagent)
        (solid-phase combinatorial libraries synthesis of glycosaminoglycans as
       potential receptors)
RN
     444118-90-5 HCAPLUS
     .beta.-D-Glucopyranose, O-6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-
     (phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-0-2-0-(1,4-
    dioxopentyl)-6-methyl-3-0-(phenylmethyl)-.beta.-D-glucopyranuronosyl-
     (1.fwdarw.4)-2-azido-2-deoxy-1-0-[(1,1-dimethylethyl)dimethylsilyl]-,
    3,6-diacetate (9CI) (CA INDEX NAME)
```

RN 444118-91-6 HCAPLUS

CN D-Glucopyranose, O-6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl).alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-(1,4-dioxopentyl)-6-methyl-3-O(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-,
3,6-diacetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

### Absolute stereochemistry.

L29 ANSWER 4 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1

1998:617886 HCAPLUS

DOCUMENT NUMBER:

129:316478

TITLE:

Synthesis of a 3-deoxy-L-iduronic acid containing heparin pentasaccharide to probe the conformation of

antithrombin III binding sequence

AUTHOR(S):

Lei, Ping-Sheng; Duchaussoy, Philippe; Sizun, Philippe; Mallet, Jean-Maurice; Petitou, Maurice;

Sinay, Pierre

CORPORATE SOURCE:

Ecole Normale Superieure, Department de Chimie, URA

CNRS 1686, 24 Rue Lhomond, Paris, 75231, Fr. Bioorganic & Medicinal Chemistry (1998), 6(8),

1337-1346

CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER:

SOURCE:

Elsevier Science Ltd.

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB We report in this work the total synthesis of a close analog I of the pentasaccharide active site of heparin, in which the L-iduronic acid residue has been deoxygenated at position three. 1H NMR studies demonstrated that, as anticipated, such a modification induces a shift of the conformational equil. toward 1C4 (contribution to the conformational equil. rises from 37% to 65%) and a substantial decrease of the affinity for antithrombin III (Kd 0.154 .mu.M vs. 0.050 .mu.M).

IT 214767-67-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(synthesis of 3-deoxy-L-iduronic acid contg. heparin pentasaccharide to probe the conformation of antithrombin III binding sequence)

RN 214767-67-6 HCAPLUS

CN D-Glucopyranose, O-6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl).alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl).beta.-D-galactopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-, 3,6-diacetate
1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

41 THERE ARE 41 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L29 ANSWER 5 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1993:581119 HCAPLUS

DOCUMENT NUMBER:

119:181119

TITLE:

Biologically active herparin-like fragments with a "non-glycosaminio" glycan structure. Part 2: A

tetra-O-methylated pentasaccharide with high affinity

for antithrombin III

AUTHOR(S):

Basten, J.; Jaurand, G.; Olde-Hanter, B.; Petitou, M.;

van Boeckel, C. A. A.

CORPORATE SOURCE:

Organon Int. B.V., Oss., 5340 BH, Neth.

SOURCE:

Bioorganic & Medicinal Chemistry Letters (1992), 2(9),

901-4

CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB Heparin-like fragment tetra-O-methylated pentasaccharide I was prepd. in 14 steps using glycosidation reactions with high affinity for antithrombin III.

IT 150126-08-2P

150126-08-2 HCAPLUS RN

D-Glucopyranose, O-6-O-acetyl-2-azido-2-deoxy-3,4-di-O-methyl-.alpha.-D-CN qlucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-di-O-methyl-.beta.-Dqlucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-, 3,6-diacetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L29 ANSWER 6 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1993:581118 HCAPLUS

DOCUMENT NUMBER:

119:181118

TITLE:

Biologically active heparin-like fragments with a

"non-glycosamino" glycan structure. Part 1: A

pentasaccharide containing a 3-0-methyl iduronic acid

unit

AUTHOR(S):

Jaurand, G.; Basten, J.; Lederman, I; van Boeckel, C.

A .A.; Petitou, M.

CORPORATE SOURCE:

Sanofi Recher., Gentilly, 94256, Fr.

SOURCE:

AB

Bioorganic & Medicinal Chemistry Letters (1992), 2(9),

897-900

CODEN: BMCLE8; ISSN: 0960-894X

Journal English

DOCUMENT TYPE: LANGUAGE:

> Heparin-like fragment pentasaccharide I was prepd. via glycosidation reaction as antithrombotic agent. The introduction of a Me group at the 3 position of L-iduronic acid residue neither affects the AT III mediated anti-factor Xa activity nor alter the conformational properties of a unique heparin pentasaccharide sequence.

IT 150284-29-0

RL: RCT (Reactant); RACT (Reactant or reagent) (coupling of, with disaccharide)

RN 150284-29-0 HCAPLUS

D-Glucopyranose, O-6-0-acetyl-2-azido-2-deoxy-3,4-bis-0-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-, 3,6-diacetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

L29 ANSWER 7 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1991:492770 HCAPLUS

DOCUMENT NUMBER:

115:92770

TITLE:

A new, highly potent, heparin-like pentasaccharide

fragment containing a glucose residue instead of a

glucosamine

AUTHOR(S):

Petitou, M.; Jaurand, G.; Derrien, M.; Duchaussoy, P.;

Choay, J.

CORPORATE SOURCE:

Cent. Choay, Sanofi Rech., Gentilly, 94256, Fr.

SOURCE:

Bioorganic & Medicinal Chemistry Letters (1991), 1(2),

95-8

CODEN: BMCLE8; ISSN: 0960-894X

DOCUMENT TYPE:

Journal

LANGUAGE:

English

AB A new heparin-like pentasaccharide fragment (I) in which the reducing end glucosamine unit is replaced by a glucose residue was prepd. This indicates that an O-sulfate can be substituted for an N-sulfate thereby allowing simpler synthesis of this kind of compd. A new route using a trisaccharide II as glycosyl donor was developed for this prepn.

IT 135362-95-7P 135362-96-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and coupling of, with disaccharide)

RN 135362-95-7 HCAPLUS

CN .alpha.-D-Glucopyranose, O-6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-, 3,6-diacetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 135362-96-8 HCAPLUS

CN .beta.-D-Glucopyranose, O-6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-, 3,6-diacetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

#### Absolute stereochemistry.

L29 ANSWER 8 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1991:143864 HCAPLUS

DOCUMENT NUMBER:

114:143864

TITLE:

Syntheses of heparin-like pentamers containing opened

uronic acid moieties

AUTHOR(S):

Lucas, H.; Basten, J. E. M.; Van Dinther, T. G.;

Meuleman, D. G.; Van Aelst, S. F.; Van Boeckel, C. A.

Α.

CORPORATE SOURCE:

AKZO Pharma Div., Organon Int. B. V., Oss, 5340 BH,

Neth.

SOURCE:

Tetrahedron (1990), 46(24), 8207-28

CODEN: TETRAB; ISSN: 0040-4020

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 114:143864

AB The syntheses of pentasaccharides, e.g. I [R = R1 = H (II); R = SO3H, R1 = H (III), SO3H (IV)], which correspond to the minimal AT III binding region of heparin, and the biol. activities of these compds. are discussed. The key step in the syntheses of these "opened" uronic acid pentamers was the prepn. of the required glyceric acid oxymethylene residues e.g. (R)CH2:CHCH2OCH2CH(CO2Me)OCH2F. III and IV display a significant AT III mediated .alpha.Xa activity. Replacement of the .beta.-D-glucuronic acid unit by an S-glyceric acid oxymethylene residue, e.g. II, leads to almost a complete loss of .alpha.Xa activity, notwithstanding the fact that all the essential and contributing charged groups are present in the mol.

IT 132446-47-0

RL: RCT (Reactant); RACT (Reactant or reagent)
 (coupling of, with disaccharide)

RN 132446-47-0 HCAPLUS

Absolute stereochemistry.

CN .alpha.-D-Glucopyranosyl bromide, O-6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-, 3,6-diacetate (9CI) (CA INDEX NAME)

L29 ANSWER 9 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER:

1988:510766 HCAPLUS

DOCUMENT NUMBER:

109:110766

TITLE:

Synthetic studies on mucopolysaccharides. Part V. Synthesis of methyl glycoside derivatives of tri- and

pentasaccharides related to the antithrombin III binding sequence of heparin, employing cellobiose as a

key starting material

AUTHOR(S):

Ichikawa, Yoshitaka; Monden, Ryuji; Kuzuhara,

Hiroyoshi

CORPORATE SOURCE:

RIKEN, Wako, 351-01, Japan

SOURCE:

Carbohydrate Research (1988), 172(1), 37-64

CODEN: CRBRAT; ISSN: 0008-6215

DOCUMENT TYPE:

Journal

LANGUAGE:

English

OTHER SOURCE(S):

CASREACT 109:110766

Two key synthons for the title pentasaccharide deriv., Me O-(methyl-2-0-benzoyl-3-0-benzyl-.alpha.-L-idopyranosyluronate)-(1 .fwdarw. 4)-6-0-acetyl-2-azido-3-0-benzyl-2-deoxy-.beta.-D-glucopyranoside and O-(Me 2,3-di-O-benzyl-4-O-chloroacetyl-.beta.-D-glucopyranosyluronate)-(1 .fwdarw. 4)-3,6-di-O-acetyl-2-azido-2-deoxy-.alpha.-D-glucopyranosyl bromide, were prepd. from cellobiose. They were coupled to give a tetrasaccharide deriv. that underwent O-dechloroacetylation to the corresponding glycosyl acceptor. Its condensation with the known 6-O-acetyl-2-azido-3,4-di-O-benzyl-2-deoxy-.alpha.-D-glucopyranosyl bromide afforded a 77% yield of suitably protected pentasaccharide, Me O-6-O-acetyl-2-azido-3,4-di-O-benzyl-2-deoxy-.alpha.-D-glucopyranosyl)-(1 .fwdarw. 4)-O-(Me 2,3-di-O-benzyl-.beta.-D-glucopyranosyluronate)-(1 .fwdarw. 4)-O-(3,6-di-O-acetyl-2-azido-2-deoxy-.alpha.-D-glucopyranosyl)-(1 .fwdarw. 4)-O-(Me 2-O-benzoyl-3-O-benzyl-.alpha.-L-idopyranosyluronate)-(1 .fwdarw. 4)-6-O-acetyl-2-azido-3-O-benzyl-2-deoxy-.beta.-Dglucopyranoside. Sequential deprotection and sulfation gave the decasodium salt of Me sulfamidosulfo trisaccharide glycoside I. similar way, the trisaccharide deriv., the hexasodium salt of Me O-(2-deoxy-2-sulfamido-6-O-sulfo-.alpha.-D-glucopyranosyl)-(1 .fwdarw. 4)-O-(.beta.-D-glucopyranosyluronic acid)-(1 .fwdarw. 4)-2-deoxy-2sulfamido-3,6-di-O-sulfo-.alpha.-D-glucopyranoside (II) was synthesized from Me O-(6-0-acetyl-2-azido-3,4-di-0-benzyl-2-deoxy-.alpha.-Dglucopyranosyl)-(1 .fwdarw. 4)-O-(Me 2,3-di-O-benzyl-.beta.-Dglucopyranosyluronate)-3,6-di-O-acetyl-2-azido-2-deoxy-.alpha.-Dglucopyranoside. The pentasaccharide I binds strongly to antithrombin III with an assocn. const. almost equiv. to that of high-affinity heparin, but

the trisaccharide II appears not to bind.

#### IT 115997-47-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and esterification of)

RN 115997-47-2 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl O-2-azido-3,4-bis-O-(phenylmethyl).alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2,3-bis-O-(phenylmethyl)-.beta.-Dglucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### IT 115997-36-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and methanolysis of, in presence of mercuric bromide)

RN 115997-36-9 HCAPLUS

CN D-Glucopyranosyl chloride, O-6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-, 3,6-diacetate (9CI) (CA INDEX NAME)

#### IT 104545-79-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and sulfation of)

RN 104545-79-1 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl O-2-azido-2-deoxy-3,4-bis-O(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy(9CI) (CA INDEX NAME)

#### Absolute stereochemistry.

# IT 104545-78-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and O-deacetylation of)

RN 104545-78-0 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl O-6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-, 3,6-diacetate (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

#### IT 104545-80-4P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn., redn. and debenzylation of)

RN 104545-80-4 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl O-2-azido-2-deoxy-3,4-bis-O- (phenylmethyl)-6-O-sulfo-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-

2-deoxy-, 3,6-bis(hydrogen sulfate), trisodium salt (9CI) (CA INDEX NAME)
Absolute stereochemistry.

#### ●3 Na

L29 ANSWER 10 OF 10 HCAPLUS COPYRIGHT 2003 ACS

ACCESSION NUMBER: 1986:553457 HCAPLUS

DOCUMENT NUMBER: 105:153457

TITLE: Synthesis of heparin fragment with high affinity for

antithrombin III, utilizing a disaccharide synthon

AUTHOR(S): Ichikawa, Yukihiko; Monden, Ryuiji; Kuzuhara, Hiromi

CORPORATE SOURCE: Inst. Phys. Chem. Res., Wako, Japan

SOURCE: Tennen Yuki Kagobutsu Toronkai Koen Yoshishu (1985),

27th, 9-16 CODEN: TYKYDS

DOCUMENT TYPE: Journal LANGUAGE: Japanese

AB A complex pentasaccharide, which is the antithrombin III-binding sequence of heparin, was prepd. The disaccharides I and II, obtained from

cellobiose, and the monosaccharide III were the key intermediates.

IT 104545-78-0P 104545-79-1P 104545-80-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of, intermediate in synthesis of heparin fragment)

RN 104545-78-0 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl O-6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-, 3,6-diacetate (9CI) (CA INDEX NAME)

RN 104545-79-1 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl O-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl-2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 104545-80-4 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl O-2-azido-2-deoxy-3,4-bis-O(phenylmethyl)-6-O-sulfo-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-6-methyl2,3-bis-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido2-deoxy-, 3,6-bis(hydrogen sulfate), trisodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry.

●3 Na

●3 Na

NODE ATTRIBUTES: DEFAULT MLEVEL IS ATOM

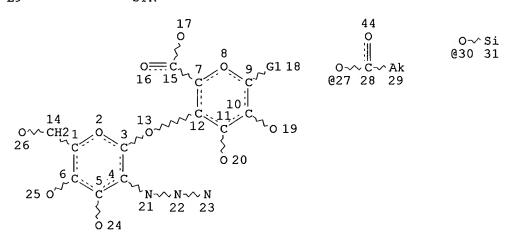
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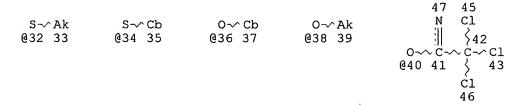
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### STEREO ATTRIBUTES: NONE

8457 SEA FILE=REGISTRY SSS FUL L4 L6 Ь9 STR





VAR G1=OH/27/30/X/32/34/36/38/40

NODE ATTRIBUTES:

CONNECT IS E1 RC AT 29 RC AT 32 CONNECT IS E2 CONNECT IS E1 RC AT 33 CONNECT IS E2 RC AT 34

CONNECT IS E1 RC AT

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CONNECT IS E1
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CONNECT IS E1
               RC AT
                      39
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               RC AT
                      47
DEFAULT MLEVEL IS ATOM
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GGCAT
DEFAULT ECLEVEL IS LIMITED
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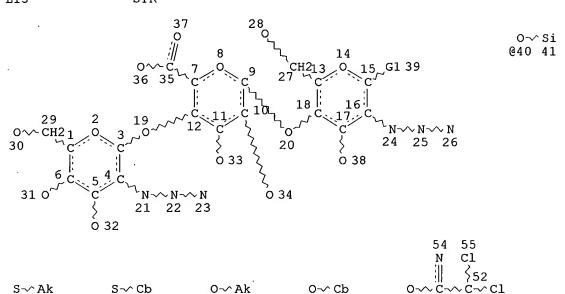
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RING(S) ARE ISOLATED OR EMBEDDED NUMBER OF NODES IS 47

### STEREO ATTRIBUTES: NONE

L10 104 SEA FILE=REGISTRY SUB=L6 SSS FUL L9 L13 STR

@46 47



@48 49

@50 51

53

C1 56

VAR G1=OH/40/X/42/44/46/48/50

@44 45

NODE ATTRIBUTES:

@42 43

CONNECT IS E2 RC AT CONNECT IS E1 RC AT 43 CONNECT IS E2 RC AT 44 CONNECT IS E1 RC AT 45 RC AT CONNECT IS E1 47 CONNECT IS E1 RC AT 49 CONNECT IS E1 RC AT DEFAULT MLEVEL IS ATOM GGCAT IS UNS AT45 GGCAT IS UNS AT 49 DEFAULT ECLEVEL IS LIMITED

## GRAPH ATTRIBUTES:

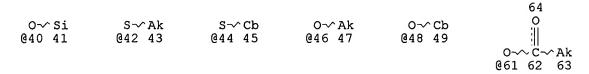
RING(S) ARE ISOLATED OR EMBEDDED

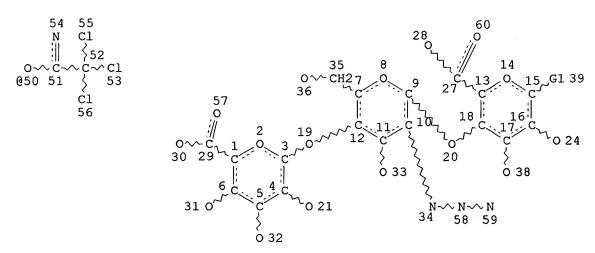
### NUMBER OF NODES IS 56

STEREO ATTRIBUTES: NONE

L14 109 SEA FILE=REGISTRY SUB=L6 SSS FUL L13

L15 STR





VAR G1=OH/61/40/X/42/44/46/48/50

NODE ATTRIBUTES:

CONNECT IS E2 RC AT 42

CONNECT IS E1 RC AT 43

CONNECT IS E2 RC AT 44

CONNECT IS E1 RC AT 45

CONNECT IS E1 RC AT 47

CONNECT IS E1 RC AT 49

CONNECT IS E1 RC AT 54

CONNECT IS E1 RC AT 6

DEFAULT MLEVEL IS ATOM GGCAT IS UNS AT 45

GGCAT IS UNS AT 49

DEFAULT ECLEVEL IS LIMITED

### GRAPH ATTRIBUTES:

RING(S) ARE ISOLATED OR EMBEDDED

NUMBER OF NODES IS 59

### STEREO ATTRIBUTES: NONE

L16 77 SEA FILE=REGISTRY SUB=L6 SSS FUL L15

L24 79 SEA FILE=REGISTRY ABB=ON PLU=ON L10 AND 2 OC5/ES 20 SEA FILE=REGISTRY ABB=ON PLU=ON L16 AND 3 OC5/ES

L26 62 SEA FILE=REGISTRY ABB=ON PLU=ON L14 AND 3 OC5/ES

L30 1 SEA FILE=HCAPLUS ABB=ON PLU=ON (L24 OR L25 OR L26) AND

SOLID (5A) SUPPORT

#### => d ibib ab hitstr

L30 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS ACCESSION NUMBER: 2002:574867 HCAPLUS

DOCUMENT NUMBER: 137:125357

TITLE: Solid- and solution-phase combinatorial libraries

synthesis of heparin and other glycosaminoglycans as

potential receptors

INVENTOR(S): Seeberger, Peter H.; Orgueira, Hernan; Schell, Peter

Massachusetts Institute of Technology, USA PATENT ASSIGNEE(S):

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PATENT INFORMATION:

	PATENT NO.				KI	ND	DATE			A	PPLI	CATI	ON N	0.	DATE				
	WO	2002	0586	33	A2		20020801		WO 2002-US1772						2002	0122			
	WO	2002058633			A3		20021017												
		W:	ΑE,	AG,	AL,	AM,	AT,	AU,	ΑZ,	BA,	BB,	BG,	BR,	BY,	ΒZ,	CA,	CH,	CN,	
			CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	ES,	FI,	GB,	GD,	GE,	GH,	
			GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	ΚZ,	LC,	LK,	LR,	
			LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NO,	ΝZ,	OM,	PH,	
			PL,	PT,	RO,	RU,	SD,	SE,	SG,	SI,	SK,	SL,	ТJ,	TM,	TN,	TR,	TT,	TZ,	
			UA,	UG,	UZ,	VN,	YU,	ZA,	ZM,	ZW,	AM,	AZ,	BY,	KG,	ΚZ,	MD,	RU,	ТJ,	$TM^{\epsilon}$
		RW:	GH,	GM,	KE,	LS,	MW,	MZ,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑT,	BE,	CH,	
			CY,	DE,	DK,	ES,	FI,	FR,	GB,	GR,	ΙE,	IT,	LU,	MC,	NL,	PT,	SE,	TR,	
			BF,	ВJ,	CF,	CG,	CI,	CM,	GA,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	TG	
US 2003013862 A						l	2003	0116		US 2002-54724				20020122					
PRIORITY APPLN. INFO.: US 2001-263621P P 20010123																			
OTHER SOURCE(S): MARPAT 137:125357																			

Described is a modular, general synthetic strategy for the prepn. in soln. and on a solid support of heparin, heparin-like glycosaminoglycans, glycosaminoglycans and non-natural analogs, e.g. I, wherein X is OH, acyloxy, silyloxy, halide, alkylthio, arylthio, alkoxy, OC(NH)CCl3; R is H, alkyl, aryl, arylalkyl, heteroarylalkyl, silyl, acyl, alkenyloxycarbonyl, aralkyloxycarbonyl; R1 is H, alkyl, aryl, arylalkyl, heteroarylalkyl and derivs. Addnl., the modular strategy provides the basis for the prepn. of combinatorial libraries and parallel libraries of defined glycosaminoglycan oligosaccharides. The defined glycosaminoglycan structures may be used in high-throughput screening expts. to identify carbohydrate sequences that regulate a host of recognition and signal-transduction processes. The detn. of specific sequences involved in receptor binding holds great promise for the development of mol. tools which will allow modulation of processes underlying viral entry, angiogenesis, kidney diseases and diseases of the control nervous system (no data). Notably, the present invention enables the automated synthesis of glycosaminoglycans in much the same fashion that peptides and oligonucleotides are currently assembled. Thus, n-pentenyl (2-deoxy-2-sodium sulfonatamido-3,4,6-tri-O-sodium sulfonato-.alpha.-Dglucopyranosyl)-(1.fwdarw.4)-(sodium 2-0-sodium sulfonato-.alpha.-Didopyranosyluronate) - (1.fwdarw.4) - (2-deoxy-2-sodium sulfonatamido-6-0sodium sulfonato-.alpha.-D-glucopyranosyl)-(1.fwdarw.4)-sodium 2-0-sodium sulfonato-.beta.-D-glucopyranosiduronate was prepd. as potential receptors.

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IT
     444118-60-9P 444118-61-0P 444118-62-1P
     444118-63-2P 444118-64-3P 444118-65-4P
     444118-66-5P 444118-67-6P 444118-68-7P
     444118-69-8P 444118-70-1P 444118-71-2P
     444118-72-3P 444118-73-4P 444118-74-5P
     444118-75-6P 444118-76-7P 444118-77-8P
     444118-78-9P 444118-79-0P 444118-88-1P
     444118-89-2P 444118-90-5P 444118-91-6P
     444119-04-4P 444119-05-5P
     RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
        (solid-phase combinatorial libraries synthesis of glycosaminoglycans as
        potential receptors)
RN
     444118-60-9 HCAPLUS
     .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-
CN
     dimethylethyl)dimethylsilyl]-3-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-
     3-O-(phenylmethyl)-, methyl ester, 2-(chloroacetate) 1-(2,2,2-
     trichloroethanimidate) (9CI) (CA INDEX NAME)
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Absolute stereochemistry. Rotation (+).

RN 444118-61-0 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(chloroacetate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 444118-62-1 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444118-63-2 HCAPLUS

.beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

RN 444118-64-3 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(chloroacetate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-65-4 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

RN 444118-66-5 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-67-6 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate)
1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 444118-68-7 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate)
1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-69-8 HCAPLUS

CN .alpha.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 444118-70-1 HCAPLUS

CN D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

RN 444118-71-2 HCAPLUS

CN L-Idopyranuronic acid, 4-O-[3,6-di-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 1,2-diacetate (9CI) (CA INDEX NAME)

RN 444118-72-3 HCAPLUS

CN L-Idopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 1,2-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444118-73-4 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-O-[3,6-di-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-74-5 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-

3-O-(phenylmethyl), methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-75-6 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-76-7 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

RN 444118-77-8 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(chloroacetate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-78-9 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(chloroacetate) (9CI) (CA INDEX NAME)

C1CH<sub>2</sub> O Ph OAC

$$(CH_2)_3$$
 O R S OH

 $R$  S H R R

 $R$  O Ph

 $R$  S O Ph

 $R$  S O Ph

RN 444118-79-0 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-0-[6-0-acetyl-2-azido-2-deoxy-4-0-[(1,1-dimethylethyl)dimethylsilyl]-3-0-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-0-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 444118-88-1 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl O-2-O-acetyl-4-O-[(1,1-dimethylethyl)dimethylsilyl]-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

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PAGE 1-B

> CH2

RN 444118-89-2 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl O-2-O-acetyl-6-methyl-3-O-(phenylmethyl)-.alpha.-L-idopyranuronosyl-(1.fwdarw.4)-O-6-O-acetyl-2azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-3-O-(phenylmethyl)-, methyl ester, 2-(4-oxopentanoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444118-90-5 HCAPLUS

CN .beta.-D-Glucopyranose, O-6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl-(1.fwdarw.4)-0-2-O-(1,4-dioxopentyl)-6-methyl-3-O-(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-1-O-[(1,1-dimethylethyl)dimethylsilyl]-, 3,6-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444118-91-6 HCAPLUS

CN D-Glucopyranose, O-6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl).alpha.-D-glucopyranosyl-(1.fwdarw.4)-O-2-O-(1,4-dioxopentyl)-6-methyl-3-O(phenylmethyl)-.beta.-D-glucopyranuronosyl-(1.fwdarw.4)-2-azido-2-deoxy-,
3,6-diacetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444119-04-4 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

RN 444119-05-5 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-3,4-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-1-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

IT 444118-80-3P 444119-16-8P 444119-17-9P 444119-18-0P 444119-19-1P 444119-20-4P 444119-21-5P

RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)

(solid-phase combinatorial libraries synthesis of glycosaminoglycans as potential receptors)

RN 444118-80-3 HCAPLUS

CN .beta.-D-Glucopyranosiduronic acid, 4-pentenyl 4-O-[6-O-acetyl-2-azido-2-deoxy-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-(2-propenyl carbonate) (9CI) (CA INDEX NAME)

RN 444119-16-8 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-O-[3-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-6-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 444119-17-9 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[3,6-di-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 444119-18-0 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[3-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-6-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

### Absolute stereochemistry.

RN 444119-19-1 HCAPLUS

CN .beta.-L-Idopyranuronic acid, 4-O-[2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

#### Absolute stereochemistry.

RN 444119-20-4 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[6-O-acetyl-2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)

RN 444119-21-5 HCAPLUS

CN .beta.-D-Glucopyranuronic acid, 4-O-[2-azido-2-deoxy-4-O-[(1,1-dimethylethyl)dimethylsilyl]-3,6-bis-O-(phenylmethyl)-.alpha.-D-glucopyranosyl]-3-O-(phenylmethyl)-, methyl ester, 2-acetate 1-(2,2,2-trichloroethanimidate) (9CI) (CA INDEX NAME)